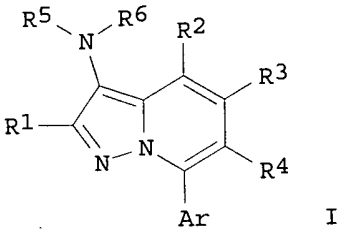
=> d l12 abs ibib kwic hitstr 1-19

L12 ANSWER 1 OF 19 CAPLUS COPYRIGHT 2003 ACS
GI



I

AB Compds. represented by the general formula (I), salts thereof, and hydrates of both [wherein R1 = H, halo, NO2, cyano, -G1-R1a (wherein G1 = CH2, O, S, SO, SO2, CO, CO2, O2C, NR1b, CONR1b, SO2NR1b, NR1bCO, NR1bSO2; R1a, R1b = H, C1-10 alkyl, C2-10 alkenyl, C2-10 alkynyl, C3-8 cycloalkyl, C5-8 cycloalkenyl); R2, R3, R4 = H, halo, cyano, NO2, HO, C6-14 aryl, 5- to 14-membered heteroaryl, G2-R2a (wherein G2 = a single bond, C1-6 alkylene, O, S, SO, SO2, CO, CO2, O2C, NR2b, CONR2b, SO2NR2b, NR2bCO, NR2bSO2; R2a, R2b = H, optionally 1-3 of halogen-substituted C1-6 alkyl, C2-10 alkenyl, C2-10 alkynyl, C3-8 cycloalkyl, C5-8 cycloalkenyl), etc.; R5, R6 = -X5-X6-X7 (wherein X5 = a single bond, CO; X6 = a single bond, NR3a, O, S, SO, SO2, C1-10 alkylene, C2-10 alkenylene, C2-10 alkynylene; X7, R3a = H, C1-10 alkyl, C2-10 alkenyl, C2-10 alkynyl, C3-8 cycloalkyl, C5-8 cycloalkenyl, C6-14 aryl, etc.); or R5 and R6 are linked together to form a 5- to 7-membered ring optionally contg. 1-4 heteroatoms or CO in the ring; or R6 and R2 are linked together to form a 6- or 7-membered ring optionally contg. 1 or 2 heteroatoms or CO in the ring; Ar = C6-14 aryl, 5- to 14-membered heteroaryl, 9- to 11-membered benzene-fused cyclic group, 8- to 11-membered heteroaryl-fused cyclic group] are prepd. These compds. are antagonists of corticotropin-releasing factor (CRF) receptor, in particular CRF 1 or 2 receptor, and useful for the treatment or prevention of CRF-related diseases. The above diseases include depression, symptom of depression, mania, **anxiety**, general **anxiety** disorder, panic disorder, phobia, obsessive-compulsive disorder, post-traumatic-stress disorder, Tourette's syndrome, autism, emotional disorder, emotional disturbance, bipolar disorder, cyclothymia, schizophrenia, peptic ulcer, irritable bowel syndrome, ulcerous colitis, Crohn's disease, diarrhea, constipation, ileus after surgery, gastrointestinal disorder accompanied by stress, or neurol. vomiting. They also include Alzheimer's disease, Alzheimer's-type senile dementia, neurodegenerative disease, multiple infarctional dementia, senile dementia, neurol. anorexia, eating disorder, obesity, diabetes, alc. dependency (alcoholism), drug preference, drug withdrawal symptom, alc. withdrawal symptom, sleep disorder, insomnia, migraine headache, stress headache, myotonic headache, ischemic nerve disorder, excitatory toxin-induced nerve disorder, cerebral apoplexy, progressive supranuclear paralysis, amyotrophic lateral sclerosis, multiple sclerosis, muscle spasm, chronic fatigue syndrome, psychosocial growth-retardation, epilepsy, and head trauma. Addnl. included are spinal cord injury, writer's cramp, torticollis spastica, cervicobrachial syndrome (cervix-shoulder arm symptom), primary glaucoma, Meniere's disease,

vegetative dystonia, alopecia, neuropathy, hypertension, cardiovascular diseases, tachycardia, congestive heart paralysis, hyperpnea syndrome, bronchial asthma, apnea syndrome, infant sudden death syndrome, inflammation disorder, pain, allergy, impotence, menopausal syndrome, fertilization disorder, sterility, cancer, immune function abnormality in HIV infection or stress, hemorrhagic shock, Cushing syndrome, thyroid gland malfunction, meningitis, acromegaly, incontinence, or osteoporosis. The above symptom of depression includes major, single episode , or recurrent depression, child abuse due to depression, or postpartum depression. Thus, 5 mg 7-(2-chloro-4-methoxyphenyl)-2-ethyl-3-nitropyrazolo[1,5-a]pyridine was suspended in 2 mL ethanol, followed by adding 1 mL H2O, 0.5 mL AcOH, and 10 mg Zn, and the resulting mixt. was stirred at 80.degree. for 30 min to give crude [7-(2-chloro-4-methoxyphenyl)-2-ethylpyrazolo[1,5-a]pyridin-3-yl]amine (II). II was dissolved in 1 mL THF and treated with 0.015 mL propionaldehyde and 0.071 mL 3 M aq. H2SO4, followed by adding 5.4 mg NaBH4 in five portions with vigorous stirring under ice-cooling, and the resulting mixt. was stirred for 30 min to give 6 mg N-[7-(2-chloro-4-methoxyphenyl)-2-ethylpyrazolo[1,5-a]pyridin-3-yl]-N,N-dipropylamine (III). III showed IC50 of 50 nM for inhibiting the binding of [125I]-Sauvagine on a membrane prepn. from HEK293 cell expressing human CRF receptor 1.

ACCESSION NUMBER: 2002:849626 CAPLUS
DOCUMENT NUMBER: 137:370083
TITLE: Preparation of pyrazolo[1,5-a]pyridines as antagonists of corticotropin-releasing factor receptor and medicines containing the same
INVENTOR(S): Hibi, Shigeki; Kikuchi, Koichi; Hoshino, Yorihisa; Soejima, Motohiro; Yoshiuchi, Tatsuya; Shin, Kogyoku; Ono, Mutsuko; Takahashi, Yoshinori; Shibata, Hisashi; Ino, Mitsuhiro; Hirakawa, Tetsuya
PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan
SOURCE: PCT Int. Appl., 240 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002088121	A1	20021107	WO 2002-JP4173	20020425

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: JP 2001-133207 A 20010427
OTHER SOURCE(S): MARPAT 137:370083

AB . . . receptor, and useful for the treatment or prevention of CRF-related diseases. The above diseases include depression, symptom of depression, mania, **anxiety**, general **anxiety** disorder, panic disorder, phobia, obsessive-compulsive disorder, post-traumatic-stress disorder, Tourette's syndrome, autism, emotional

disorder, emotional disturbance, bipolar disorder, cyclothymia, schizophrenia, peptic. . .

ST pyrazolopyridine prepn antagonist corticotropin releasing factor receptor; CRF receptor antagonist pyrazolopyridine prepn; depression prevention treatment pyrazolopyridine prepn; **anxiety** prevention treatment pyrazolopyridine prepn; panic disorder phobia prevention treatment pyrazolopyridine prepn; obsessive compulsive disorder prevention treatment pyrazolopyridine prepn; Alzheimer disease. . .

IT **Anxiety**
(panic disorder; prepn. of pyrazolo[1,5-a]pyridines as antagonists of corticotropin-releasing factor (CRF) receptor for prevention and/or treatment of CRF-related diseases)

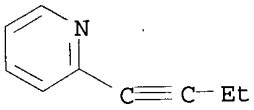
IT Acromegaly
Alcoholism
Allergy
Allergy inhibitors
Alopecia
Alzheimer's disease
Analgesics
Anti-Alzheimer's agents
Anti-inflammatory agents
Antiasthmatics
Anticonvulsants
Antidepressants
Antidiabetic agents
Antiemetics
Antihypertensives
Antiobesity agents
Antipsychotics
Antitumor agents
Anxiety
Anxiolytics
Asthma
Cardiovascular agents
Cushing's syndrome
Diabetes mellitus
Diarrhea
Drug dependence
Epilepsy
Human
Hypertension
Inflammation
Insomnia
Meningitis
Menopause
Multiple sclerosis
Neoplasm
Obesity
Osteoporosis
Pain
Schizophrenia
Sterility
(prepn. of pyrazolo[1,5-a]pyridines as antagonists of corticotropin-releasing factor (CRF) receptor for prevention and/or treatment of CRF-related diseases)

IT 474975-44-5P **475174-64-2P** 475174-65-3P **475174-67-5P**
475174-68-6P 475174-69-7P 475174-70-0P 475174-71-1P 475174-72-2P
475174-73-3P **475174-74-4P** **475174-76-6P** 475174-77-7P

475174-78-8P 475174-79-9P 475174-80-2P 475174-81-3P 475174-82-4P
475174-83-5P 475174-84-6P 475174-85-7P 475174-86-8P 475174-87-9P
475174-88-0P 475174-89-1P 475174-90-4P 475174-93-7P 475174-94-8P
475174-95-9P 475174-96-0P 475174-97-1P 475175-00-9P 475175-03-2P
475175-05-4P 475175-07-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of pyrazolo[1,5-a]pyridines as antagonists of corticotropin-releasing factor (CRF) receptor for prevention and/or treatment of CRF-related diseases)

IT **475174-64-2P 475174-67-5P 475174-74-4P 475174-76-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of pyrazolo[1,5-a]pyridines as antagonists of corticotropin-releasing factor (CRF) receptor for prevention and/or treatment of CRF-related diseases)

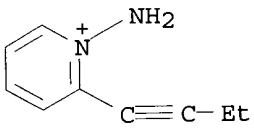
RN 475174-64-2 CAPLUS
CN Pyridine, 2-(1-butynyl)- (9CI) (CA INDEX NAME)



RN 475174-67-5 CAPLUS
CN Pyridinium, 1-amino-2-(1-butynyl)-, salt with 2,4,6-trimethylbenzenesulfonic acid (1:1) (9CI) (CA INDEX NAME)

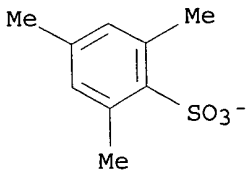
CM 1

CRN 475174-66-4
CMF C9 H11 N2

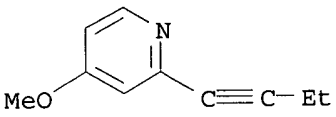


CM 2

CRN 46149-61-5
CMF C9 H11 O3 S



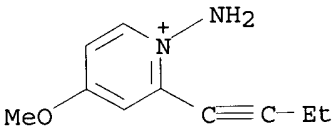
RN 475174-74-4 CAPLUS
CN Pyridine, 2-(1-butynyl)-4-methoxy- (9CI) (CA INDEX NAME)



RN 475174-76-6 CAPLUS
CN Pyridinium, 1-amino-2-(1-butynyl)-4-methoxy-, salt with 2,4,6-trimethylbenzenesulfonic acid (1:1) (9CI) (CA INDEX NAME)

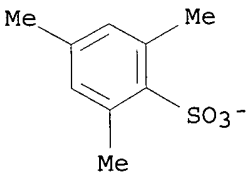
CM 1

CRN 475174-75-5
CMF C10 H13 N2 O



CM 2

CRN 46149-61-5
CMF C9 H11 O3 S



REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 2 OF 19 CAPLUS COPYRIGHT 2003 ACS
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [R1-R5 = H, halo, alkyl, etc.; R6-R9 = H, alkyl, hydroxyalkyl, etc.; R10-R13 = H, OH, alkyl, etc.; R14 = H, or a functionality that acts as a prodrug; X = O, S, CH2, etc.; a, k, v = 0, 1; i, j, m, n = 0-4], their pharmaceutically acceptable salts, prodrugs and formulations were prepd. For example, hydrogenation of acrylic ester II,

prepd. from 7-[2-[1-(2-Methoxycarbonyl-1-(pyridin-3-yl)vinyl)-1H-indol-5-yloxy]ethyl]-3,4-dihydro-2H-[1,8]naphthyridine-1-carboxylic acid tert-Bu ester and pyridin-3-ylpropynoic acid Me ester, followed by BOC deprotection, and ester hydrolysis provided claimed indole III. Indole III inhibited human .alpha.v.beta.3-vitronectin interaction at an IC50 of 0.24 nM, studies for an addnl. 6 examples are provided, ranging in values from 670 to 0.24 nM. Compds. I may be used in treatment of pathol. conditions mediated by .alpha.v.beta.3 and .alpha.v.beta.5 integrins, including such conditions as tumor growth, inflammation, rheumatoid arthritis, etc..

ACCESSION NUMBER: 2002:594672 CAPLUS
DOCUMENT NUMBER: 137:154848
TITLE: Preparation of indoles and their use as .alpha.v.beta.3 and .alpha.v.beta.5 integrin antagonists
INVENTOR(S): Lu, Tianbao; Lafrance, Louis Vincent; Markotan, Thomas P.; Marugan, Juan Jose; Marder, Victor J.; U'Prichard, David C.; Anaclerio, Beth M.; Guo, Zihong; Pan, Wenxi; Leonard, Kristi A.
PATENT ASSIGNEE(S): 3-Dimensional Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 228 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002060438	A1	20020808	WO 2002-US2366	20020129
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2002169200	A1	20021114	US 2002-58215	20020129

PRIORITY APPLN. INFO.: US 2001-264260P P 20010129
US 2001-324519P P 20010926

OTHER SOURCE(S): MARPAT 137:154848

IT Analgesics
Anesthesia
Anti-Alzheimer's agents
Anti-inflammatory agents
Anti-ischemic agents
Antiarteriosclerotics
Anticonvulsants
Antiparkinsonian agents
Antipsychotics
Antirheumatic agents
Antitumor agents
Anxiolytics
Human
Nervous system agents

Psychotropics
Surgery
(prepn. of indoles and their use as .alpha.v.beta.3 and .alpha.v.beta.5 integrin antagonists)

IT Alzheimer's disease
Anxiety
Convulsion
Hypoglycemia
Inflammation
Ischemia
Neoplasm
Osteoporosis
Parkinson's disease
Rheumatoid arthritis
Schizophrenia
Sickle cell anemia
(treatment of; prepn. of indoles and their use as .alpha.v.beta.3 and .alpha.v.beta.5 integrin antagonists)

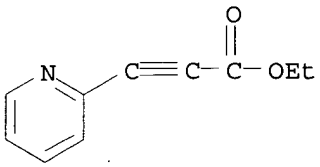
IT 766-47-2P, 1-Ethynyl-2-methylbenzene 766-82-5P, 1-Ethynyl-3-methylbenzene 766-83-6P 766-97-2P, 1-Ethynyl-4-methylbenzene 767-91-9P 768-60-5P 768-70-7P 1022-37-3P, Naphthalene-1-ylpropynoic acid ethyl ester 3032-92-6P, 4-Ethynylbenzonitrile 3989-14-8P 7517-81-9P, (2-Chlorophenyl)propynoic acid methyl ester 16900-54-2P 17117-17-8P, 3-Bromo-5-ethoxypyridine 19068-80-5P, 2-(3-Hydroxypropyl)aminopyridine 20348-10-1P, 6-Methyl-4H-pyrido[3,2-b][1,4]oxazin-3-one 20348-16-7P, 2-Amino-6-methylpyridin-3-ol 20567-67-3P, 6-Methyl-3,4-dihydro-2H-pyrido[3,2-b][1,4]oxazine 30223-81-5P, Ethyl 2-bromocyclopropanecarboxylate 40230-91-9P 40230-92-0P 42122-44-1P, (4-Fluorophenyl)propynoic acid methyl ester 42217-00-5P, 3,3,3-Triethoxypropyne 51718-85-5P, (4-Methoxyphenyl)propynoic acid ethyl ester 57134-53-9P, [5-Ethynyl]benzo[1,3]dioxole 58686-68-3P, (3-Chlorophenyl)propynoic acid ethyl ester 58686-72-9P, (3-Methoxyphenyl)propynoic acid ethyl ester 62071-76-5P, 3-Naphthalen-1-yl-3-oxo-propionic acid ethyl ester 62550-65-6P, 3-Naphthalen-2-yl-3-oxo-propionic acid ethyl ester **66869-70-3P**, Pyridin-2-ylpropynoic acid ethyl ester 66869-71-4P, Pyridin-4-ylpropynoic acid ethyl ester 75867-40-2P, 4-Trimethylsilanylethynylbenzonitrile 78584-30-2P, Pyridin-3-ylpropynoic acid methyl ester 78593-40-5P, 3-Ethynylquinoline 80220-94-6P, (2-Methoxyphenyl)propynoic acid ethyl ester 90101-22-7P 91022-26-3P, [Triethoxyprop-1-ynyl]trimethylsilane 92449-54-2P, 5-(2,2-Dibromovinyl)benzo[1,3]dioxole 99254-90-7P, 1,3-Dichloro-5-ethynylbenzene 128133-59-5P 128564-66-9P 142137-18-6P, 3-Bromo-5-methylsulfanylpyridine 142403-33-6P, 3-(5-Benzyloxyindolyl)propanoic acid ethyl ester 142403-34-7P, Ethyl 3-(5-hydroxyindolyl)propanoate 143952-58-3P, (4-Cyanophenyl)propynoic acid ethyl ester 151361-87-4P, 1-Ethynyl-3,5-difluorobenzene 171290-53-2P, 3-Ethynylbenzonitrile 187339-14-6P, 2-(3-Hydroxypropyl)aminopyridine N-oxide 190771-22-3P, 3-Trimethylsilanylethynylbenzonitrile 193358-15-5P, 5-(2-Benzyloxyethoxy)-2-nitrotoluene 203512-70-3P, (3-Cyanophenyl)propynoic acid ethyl ester 205676-84-2P 205676-85-3P 205676-86-4P 205676-87-5P, 2-[6-(Methylamino)-2-pyridyl]ethanol 220652-97-1P, (4-Trifluoromethylphenyl)propynoic acid methyl ester 227936-62-1P 243640-97-3P 351865-83-3P 370879-86-0P 381226-84-2P, 6-Methyl-2,3-dihydropyrido[3,2-b][1,4]oxazine-4-carboxylic acid tert-butyl ester 436858-54-7P, Quinolin-3-ylpropynoic acid ethyl ester 445490-32-4P, Ethyl 3-[5-[3-(2-pyridylamino)propoxy]indolyl]propanoate

445490-33-5P, Methyl 2-(5-benzyloxyindolyl)acetate 445490-34-6P, Methyl 2-(5-hydroxyindolyl)acetate 445490-35-7P, Methyl 2-[5-[3-(2-pyridylamino)propoxy]indolyl]acetate 445490-36-8P, 3-(5-Methoxy-2-methylindolyl)propanoic acid 445490-37-9P, 3-(5-Hydroxy-2-methylindolyl)propanoic acid 445490-38-0P, Methyl 3-(5-hydroxy-2-methylindolyl)propanoate 445490-39-1P, Methyl 3-[2-methyl-5-[3-(2-pyridylamino)propoxy]indolyl]propanoate 445490-40-4P, Ethyl 2-(5-benzyloxyindolyl)cyclopropanecarboxylate 445490-41-5P, Ethyl 2-(5-hydroxyindolyl)cyclopropanecarboxylate 445490-42-6P, Ethyl 2-[5-[3-(2-pyridylamino)propoxy]indolyl]cyclopropanecarboxylate 445490-43-7P, Methyl 3-(5-benzyloxyindolyl)propanoate 445490-44-8P, Methyl 3-(5-hydroxyindolyl)propanoate 445490-45-9P, Methyl 3-[5-[2-[6-(methylamino)-2-pyridyl]ethoxy]indolyl]propanoate 445490-46-0P 445490-47-1P 445490-48-2P, Methyl 2-benzyl-3-[5-[2-(pyridylamino)propoxy]indolyl]propanoate 445490-49-3P, Methyl 2-methyl-3-(5-benzyloxyindolyl)propanoate 445490-50-6P, Methyl 3-(5-hydroxyindolyl)-2-methylpropanoate 445490-51-7P, Methyl 2-methyl-3-[5-[3-(2-pyridylamino)propoxy]indolyl]propanoate 445490-52-8P, Methyl 2-[(5-benzyloxyindolyl)methyl]pentanoate 445490-53-9P 445490-54-0P, Methyl 2-[[5-[3-(2-pyridylamino)propoxy]indolyl]methyl]pentanoate 445490-55-1P 445490-56-2P 445490-57-3P, Methyl 2-[[5-[3-(2-pyridylamino)propoxy]indolyl]methyl]octanoate 445490-58-4P, Methyl 3-[5-[3-(benzyloxycarbonylamino)propoxy]indolyl]propanoate 445490-59-5P 445490-60-8P 445490-61-9P 445490-62-0P, 3-(5-Nitroindol-1-yl)hexanoic acid ethyl ester 445490-63-1P, 3-(5-Aminoindol-1-yl)hexanoic acid ethyl ester 445490-64-2P 445490-65-3P, 5-(2-Benzyloxyethoxy)-1H-indole 445490-66-4P, 3-[5-(2-Benzyloxyethoxy)indol-1-yl]-3-phenylacrylic acid ethyl ester 445490-67-5P, 3-[5-(2-Hydroxyethoxy)indol-1-yl]-3-phenylpropionic acid ethyl ester 445490-68-6P, 3-[5-[2-(1,3-Dioxo-1,3-dihydroisoindol-2-yloxy)ethoxy]indol-1-yl]-3-phenylpropionic acid ethyl ester 445490-69-7P, 3-[5-(2-Aminooxyethoxy)indol-1-yl]-3-phenylpropionic acid ethyl ester 445490-70-0P, 3-[5-[2-[N-(4,5-Dihydro-1H-imidazol-2-yl)aminooxy]ethoxy]indol-1-yl]-3-phenylpropionic acid ethyl ester 445490-71-1P, 3-[5-[2-(Guanidinooxy)ethoxy]indol-1-yl]-3-phenylpropionic acid ethyl ester 445490-72-2P, 3-(5-Benzyloxyindol-1-yl)hexanoic acid ethyl ester 445490-73-3P, 3-(5-Hydroxyindol-1-yl)hexanoic acid ethyl ester 445490-74-4P 445490-75-5P 445490-76-6P 445490-77-7P 445490-78-8P 445490-79-9P 445490-80-2P 445490-81-3P 445490-82-4P 445490-83-5P 445490-84-6P, 3-(5-Benzyloxyindol-1-yl)-3-phenylacrylic acid ethyl ester 445490-85-7P, 3-(5-Hydroxyindol-1-yl)-3-phenylpropionic acid ethyl ester 445490-86-8P, (3-Benzyloxyphenylethynyl)trimethylsilane 445490-87-9P, 3-(3-Benzyloxyphenyl)-3-chloroacrylic acid ethyl ester 445490-88-0P 445490-89-1P 445490-90-4P 445490-91-5P 445490-92-6P 445490-93-7P 445490-94-8P 445490-95-9P 445490-96-0P 445490-97-1P 445490-98-2P 445490-99-3P 445491-00-9P 445491-01-0P 445491-02-1P 445491-03-2P, 3-Biphenyl-4-yl-3-chloroacrylic acid ethyl ester 445491-04-3P 445491-05-4P 445491-06-5P 445491-07-6P, (3,5-Dichlorophenyl)propynoic acid ethyl ester 445491-08-7P 445491-09-8P 445491-10-1P, (3,5-Difluorophenyl)propynoic acid ethyl ester 445491-11-2P 445491-12-3P 445491-13-4P 445491-14-5P 445491-15-6P 445491-16-7P 445491-17-8P 445491-18-9P 445491-19-0P 445491-20-3P 445491-21-4P 445491-22-5P 445491-23-6P 445491-24-7P 445491-25-8P 445491-26-9P 445491-27-0P 445491-28-1P 445491-29-2P 445491-30-5P 445491-31-6P 445491-32-7P 445491-33-8P, Naphthalene-2-ylpropynoic acid ethyl ester 445491-34-9P 445491-35-0P 445491-36-1P 445491-37-2P 445491-38-3P 445491-39-4P 445491-40-7P 445491-41-8P 445491-42-9P 445491-43-0P 445491-44-1P 445491-45-2P

445491-46-3P, (3-Trifluoromethylphenyl)propynoic acid methyl ester
445491-47-4P 445491-48-5P 445491-49-6P 445491-50-9P 445491-51-0P
445491-52-1P 445491-53-2P 445491-55-4P 445491-57-6P 445491-58-7P
445491-60-1P 445491-61-2P 445491-62-3P 445491-63-4P 445491-64-5P
445491-65-6P, 3-(2,3-Dihydrobenzofuran-5-yl)-3-oxo-propionic acid ethyl ester 445491-66-7P, (2,3-Dihydrobenzofuran-5-yl)propynoic acid ethyl ester 445491-67-8P 445491-68-9P 445491-69-0P 445491-70-3P
445491-71-4P 445491-72-5P, 3-Methanesulfonyl-5-[triethoxyprop-1-ynyl]pyridine 445491-73-6P 445491-74-7P 445491-75-8P 445491-76-9P
445491-77-0P, Methyl-[6-[2-(3-methyl-4-nitrophenoxy)ethyl]pyridin-2-yl]carbamic acid tert-butyl ester 445491-78-1P 445491-79-2P
445491-80-5P 445491-81-6P, 3-[5-[2-(6-Methylaminopyridin-2-yl)ethoxy]indol-1-yl]-3-phenylpropionic acid ethyl ester 445491-82-7P
445491-83-8P 445491-84-9P, 3-[5-[2-(6-Methylaminopyridin-2-yl)ethoxy]indol-1-yl]-3-quinolin-3-ylpropionic acid ethyl ester
445491-85-0P 445491-86-1P 445491-87-2P, 3-[5-[2-(6-Methylaminopyridin-2-yl)ethoxy]indol-1-yl]-3-pyridin-3-ylpropionic acid methyl ester
445491-88-3P, 3-[5-[2-(6-Methylaminopyridin-2-yl)ethoxy]indol-1-yl]hexanoic acid ethyl ester 445491-89-4P, 4-(1H-Indol-5-yl)butyronitrile 445491-90-7P 445491-91-8P 445491-92-9P
445491-93-0P 445491-94-1P, 3-[5-[2-(2-Methyl[1,8]naphthyridin-3-yl)ethyl]indol-1-yl]acrylic acid methyl ester 445491-95-2P
445491-96-3P, 2-[3-(1H-Indol-5-yl)propyl]-[1,8]naphthyridine
445491-97-4P 445491-98-5P 445491-99-6P 445492-00-2P 445492-01-3P
445492-02-4P 445492-03-5P 445492-04-6P 445492-06-8P 445492-07-9P, [5-(2,2,2-Trifluoroethoxy)pyridin-3-yl]propynoic acid ethyl ester
445492-08-0P 445492-09-1P 445492-10-4P 445492-11-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; prepn. of indoles and their use as .alpha.v.beta.3 and .alpha.v.beta.5 integrin antagonists)

IT **66869-70-3P**, Pyridin-2-ylpropynoic acid ethyl ester
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; prepn. of indoles and their use as .alpha.v.beta.3 and .alpha.v.beta.5 integrin antagonists)

RN 66869-70-3 CAPLUS
CN 2-Propynoic acid, 3-(2-pyridinyl)-, ethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 3 OF 19 CAPLUS COPYRIGHT 2003 ACS
AB R4Z2Z1COZCH2CONR1R2 [I; R1 = H or Me; R2 = CHMe2, (fluoro)phenyl, 3-pyridyl, etc.; R1R2 = atoms to complete a ring; R4 = Ph, C6H4(OMe)-4, pyridyl, etc.; Z = (un)substituted piperidine- or piperazine-1,4-diyl; Z1 = e.g., phenylene; Z2 = C.tplbond.C, CH:CH, CH2CH2, etc.] were prepd. Thus, e.g., N-phenyl-1-[3-(2-pyridylethynyl)benzoyl]-4-piperidineacetamide was prepd. A statistical redn. of DOI-induced head shakes in mice by I

was reported.

ACCESSION NUMBER: 2002:391700 CAPLUS
DOCUMENT NUMBER: 136:386139
TITLE: Preparation of piperidine- and piperazineacetamides as nervous system agents
INVENTOR(S): Kordik, Cheryl P.; Reitz, Allen B.; Coats, Steven J.; Luo, Chi; Pan, Kevin; Parker, Michael H.
PATENT ASSIGNEE(S): Ortho-Mcneil Pharmaceutical, Inc., USA
SOURCE: PCT Int. Appl., 125 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002040466	A2	20020523	WO 2001-US51096	20011023
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2002183316	A1	20021205	US 2001-1725	20011022
AU 2002039761	A5	20020527	AU 2002-39761	20011023

PRIORITY APPLN. INFO.: US 2000-244117P P 20001027
WO 2001-US51096 W 20011023

OTHER SOURCE(S): MARPAT 136:386139

ST piperidine piperazineacetamide prepn nervous system agent; antidepressant piperidine piperazineacetamide prepn; **anxiolytic** piperidine piperazineacetamide prepn

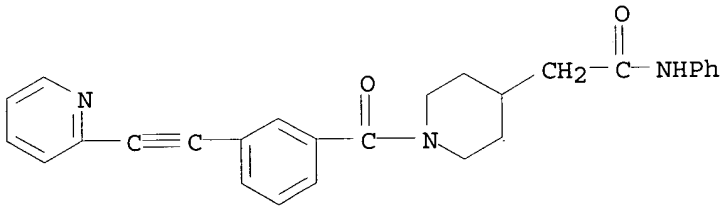
IT Antidepressants
Anxiolytics
Nervous system agents
(piperidine- and piperazineacetamides)

IT 426226-91-7P 426226-93-9P 426226-95-1P 426226-97-3P 426226-99-5P
426227-01-2P 426227-03-4P 426227-05-6P 426227-07-8P
426227-09-0P 426227-11-4P 426227-13-6P 426227-15-8P
426227-17-0P 426227-19-2P 426227-21-6P
426227-23-8P 426227-25-0P 426227-27-2P 426227-29-4P
426227-31-8P 426227-33-0P **426227-36-3P 426227-38-5P**
426227-40-9P 426227-42-1P 426227-44-3P
426227-46-5P 426227-49-8P 426227-52-3P
426227-55-6P 426227-57-8P 426227-60-3P 426227-61-4P
426227-63-6P 426227-65-8P 426227-67-0P 426227-69-2P 426227-71-6P
426227-73-8P 426227-75-0P 426227-77-2P 426227-79-4P 426227-81-8P
426227-83-0P 426227-85-2P 426227-86-3P 426227-88-5P 426227-89-6P
426227-90-9P 426227-91-0P 426227-93-2P 426227-95-4P 426227-97-6P
426227-99-8P 426228-01-5P 426228-03-7P 426228-05-9P 426228-07-1P
426228-09-3P 426228-11-7P 426228-13-9P 426228-15-1P 426228-17-3P
426228-19-5P 426228-21-9P 426228-23-1P **426228-25-3P**
426228-26-4P 426228-28-6P 426228-30-0P 426228-32-2P
426228-34-4P 426228-36-6P 426228-38-8P 426228-40-2P 426228-42-4P
426228-44-6P 426228-46-8P 426228-48-0P 426228-50-4P 426228-52-6P

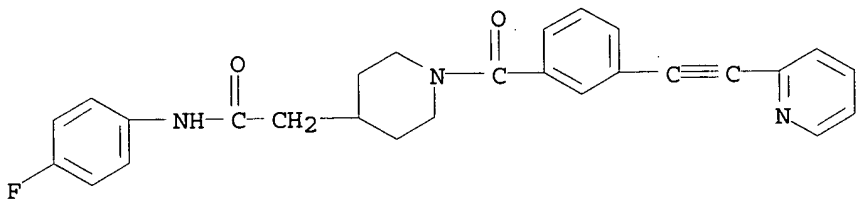
426228-54-8P 426228-56-0P 426228-58-2P 426228-60-6P
426228-62-8P 426228-64-0P 426228-66-2P **426228-68-4P**
426228-70-8P 426228-72-0P 426228-74-2P 426228-76-4P 426228-78-6P
426228-82-2P 426228-84-4P **426228-86-6P 426228-88-8P**
426228-90-2P 426228-91-3P 426228-93-5P 426228-95-7P
426228-97-9P 426228-99-1P 426229-01-8P 426229-03-0P
426229-06-3P 426229-08-5P 426229-10-9P
426229-15-4P 426229-17-6P 426229-19-8P
426229-21-2P 426229-23-4P 426229-25-6P 426229-27-8P
426229-29-0P 426229-31-4P 426229-33-6P 426229-35-8P 426229-37-0P
426229-39-2P 426229-41-6P 426229-43-8P 426229-44-9P 426229-45-0P
426229-48-3P 426229-53-0P 426229-57-4P 426229-61-0P 426229-62-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of piperidine- and piperazineacetamides as nervous system agents)

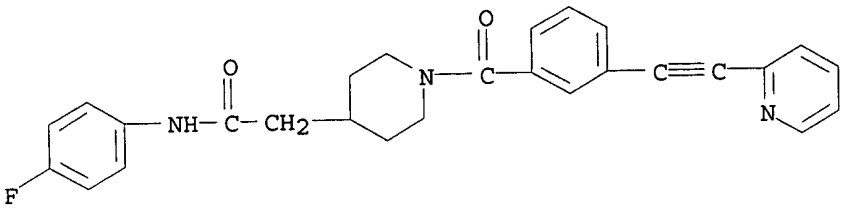
IT **426227-09-0P 426227-17-0P 426227-19-2P**
426227-21-6P 426227-23-8P 426227-36-3P
426227-38-5P 426227-40-9P 426227-42-1P
426227-44-3P 426227-46-5P 426227-49-8P
426227-52-3P 426227-55-6P 426227-57-8P
426228-19-5P 426228-25-3P 426228-26-4P
426228-62-8P 426228-68-4P 426228-86-6P
426228-88-8P 426228-90-2P 426229-06-3P
426229-08-5P 426229-10-9P 426229-15-4P
426229-17-6P 426229-19-8P 426229-21-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of piperidine- and piperazineacetamides as nervous system agents)

RN 426227-09-0 CAPLUS
CN 4-Piperidineacetamide, N-phenyl-1-[3-(2-pyridinylethynyl)benzoyl]- (9CI) (CA INDEX NAME)

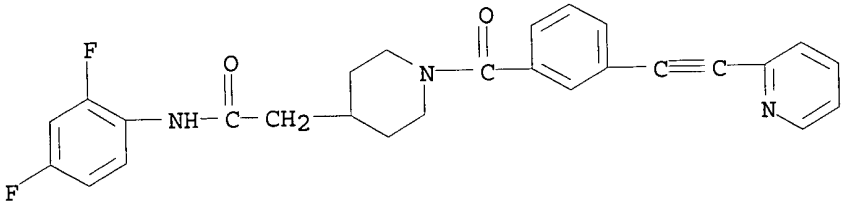


RN 426227-17-0 CAPLUS
CN 4-Piperidineacetamide, N-(4-fluorophenyl)-1-[3-(2-pyridinylethynyl)benzoyl]- (9CI) (CA INDEX NAME)

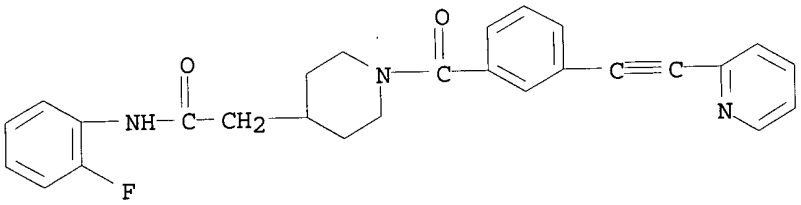




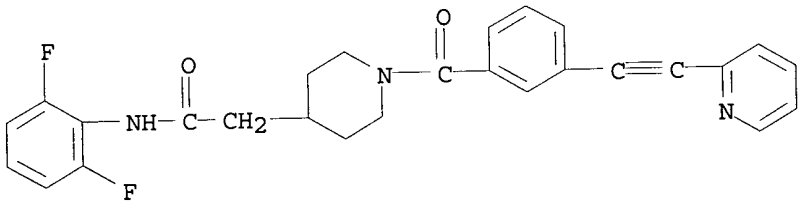
RN 426227-19-2 CAPLUS
CN 4-Piperidineacetamide, N-(2,4-difluorophenyl)-1-[3-(2-pyridinylethynyl)benzoyl]- (9CI) (CA INDEX NAME)



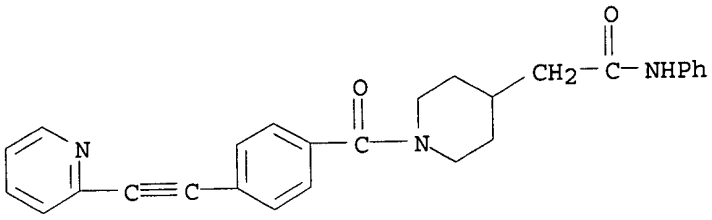
RN 426227-21-6 CAPLUS
CN 4-Piperidineacetamide, N-(2-fluorophenyl)-1-[3-(2-pyridinylethynyl)benzoyl]- (9CI) (CA INDEX NAME)



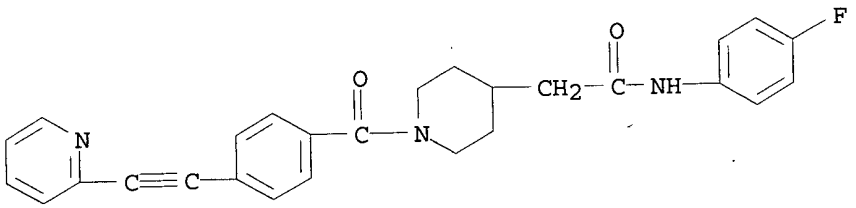
RN 426227-23-8 CAPLUS
CN 4-Piperidineacetamide, N-(2,6-difluorophenyl)-1-[3-(2-pyridinylethynyl)benzoyl]- (9CI) (CA INDEX NAME)



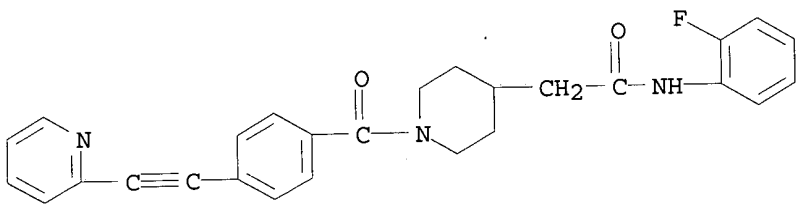
RN 426227-36-3 CAPLUS
CN 4-Piperidineacetamide, N-phenyl-1-[4-(2-pyridinylethynyl)benzoyl]- (9CI) (CA INDEX NAME)



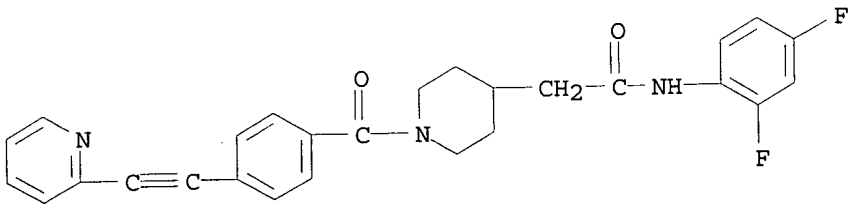
RN 426227-38-5 CAPLUS
CN 4-Piperidineacetamide, N-(4-fluorophenyl)-1-[4-(2-pyridinylethynyl)benzoyl]- (9CI) (CA INDEX NAME)



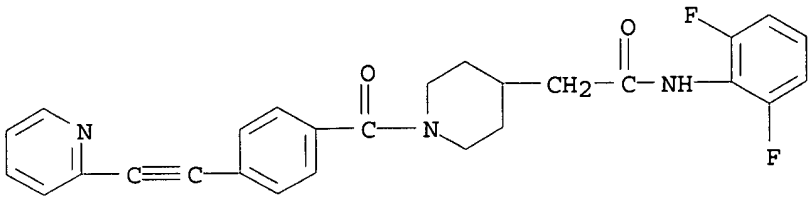
RN 426227-40-9 CAPLUS
CN 4-Piperidineacetamide, N-(2-fluorophenyl)-1-[4-(2-pyridinylethynyl)benzoyl]- (9CI) (CA INDEX NAME)



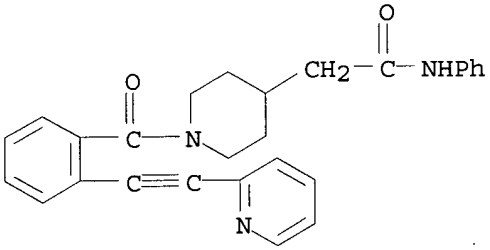
RN 426227-42-1 CAPLUS
CN 4-Piperidineacetamide, N-(2,4-difluorophenyl)-1-[4-(2-pyridinylethynyl)benzoyl]- (9CI) (CA INDEX NAME)



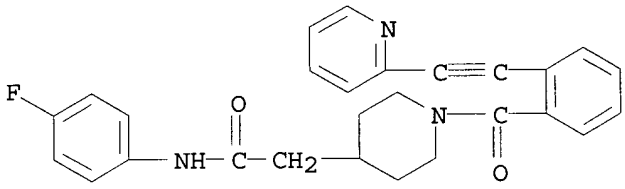
RN 426227-44-3 CAPLUS
CN 4-Piperidineacetamide, N-(2,6-difluorophenyl)-1-[4-(2-pyridinylethynyl)benzoyl]- (9CI) (CA INDEX NAME)



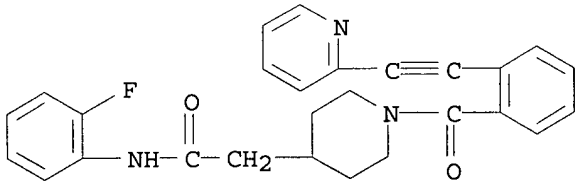
RN 426227-46-5 CAPLUS
CN 4-Piperidineacetamide, N-phenyl-1-[2-(2-pyridinylethynyl)benzoyl]- (9CI) (CA INDEX NAME)



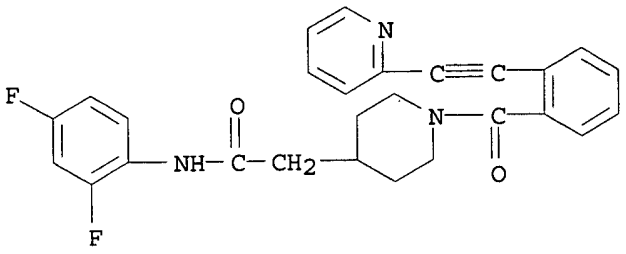
RN 426227-49-8 CAPLUS
CN 4-Piperidineacetamide, N-(4-fluorophenyl)-1-[2-(2-pyridinylethynyl)benzoyl]- (9CI) (CA INDEX NAME)



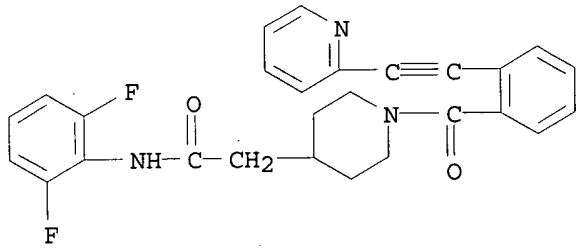
RN 426227-52-3 CAPLUS
CN 4-Piperidineacetamide, N-(2-fluorophenyl)-1-[2-(2-pyridinylethynyl)benzoyl]- (9CI) (CA INDEX NAME)



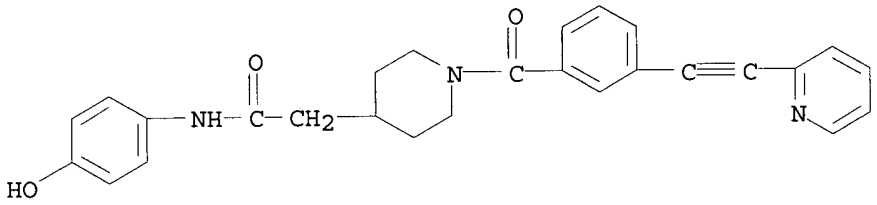
RN 426227-55-6 CAPLUS
CN 4-Piperidineacetamide, N-(2,4-difluorophenyl)-1-[2-(2-pyridinylethynyl)benzoyl]- (9CI) (CA INDEX NAME)



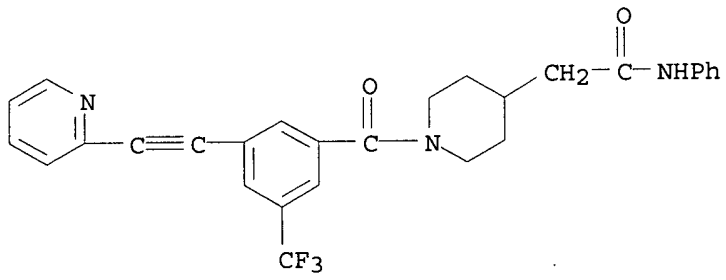
RN 426227-57-8 CAPLUS
CN 4-Piperidineacetamide, N-(2,6-difluorophenyl)-1-[2-(2-pyridinylethynyl)benzoyl]- (9CI) (CA INDEX NAME)



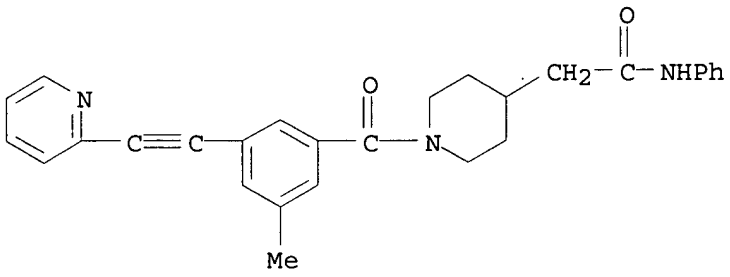
RN 426228-19-5 CAPLUS
CN 4-Piperidineacetamide, N-(4-hydroxyphenyl)-1-[3-(2-pyridinylethynyl)benzoyl]- (9CI) (CA INDEX NAME)



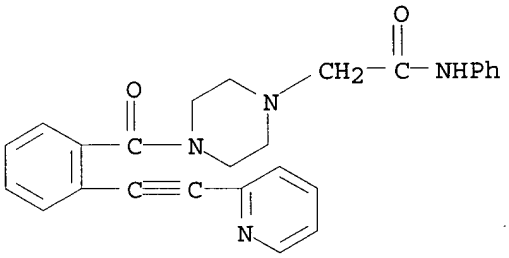
RN 426228-25-3 CAPLUS
CN 4-Piperidineacetamide, N-phenyl-1-[3-(2-pyridinylethynyl)-5-(trifluoromethyl)benzoyl]- (9CI) (CA INDEX NAME)



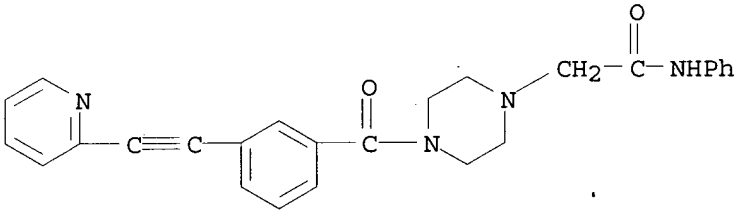
RN 426228-26-4 CAPLUS
CN 4-Piperidineacetamide, 1-[3-methyl-5-(2-pyridinylethynyl)benzoyl]-N-phenyl- (9CI) (CA INDEX NAME)



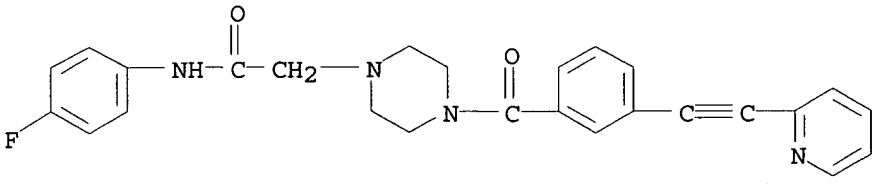
RN 426228-62-8 CAPLUS
CN 1-Piperazineacetamide, N-phenyl-4-[2-(2-pyridinylethynyl)benzoyl]- (9CI) (CA INDEX NAME)

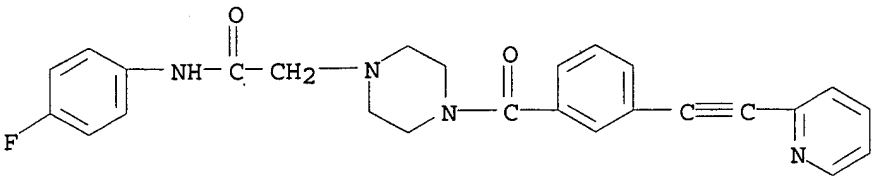


RN 426228-68-4 CAPLUS
CN 1-Piperazineacetamide, N-phenyl-4-[3-(2-pyridinylethynyl)benzoyl]- (9CI) (CA INDEX NAME)

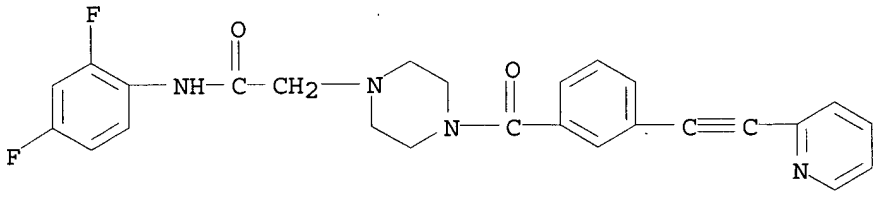


RN 426228-86-6 CAPLUS
CN 1-Piperazineacetamide, N-(4-fluorophenyl)-4-[3-(2-pyridinylethynyl)benzoyl]- (9CI) (CA INDEX NAME)

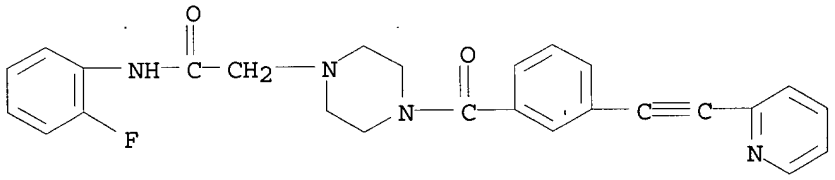




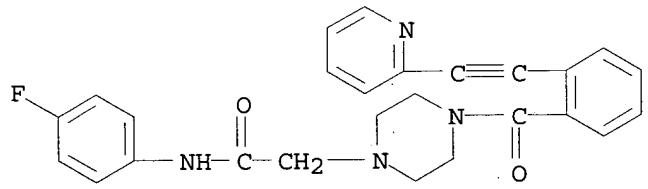
RN 426228-88-8 CAPLUS
CN 1-Piperazineacetamide, N-(2,4-difluorophenyl)-4-[3-(2-pyridinylethynyl)benzoyl]- (9CI) (CA INDEX NAME)



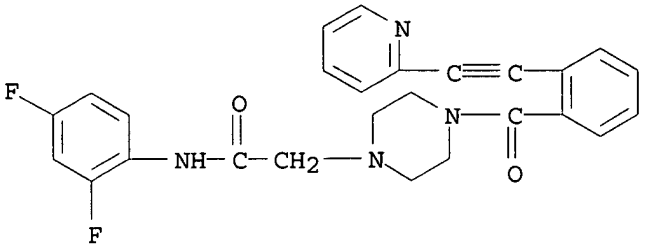
RN 426228-90-2 CAPLUS
CN 1-Piperazineacetamide, N-(2-fluorophenyl)-4-[3-(2-pyridinylethynyl)benzoyl]- (9CI) (CA INDEX NAME)



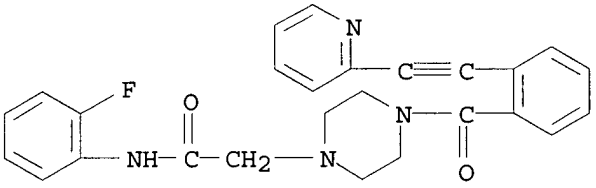
RN 426229-06-3 CAPLUS
CN 1-Piperazineacetamide, N-(4-fluorophenyl)-4-[2-(2-pyridinylethynyl)benzoyl]- (9CI) (CA INDEX NAME)



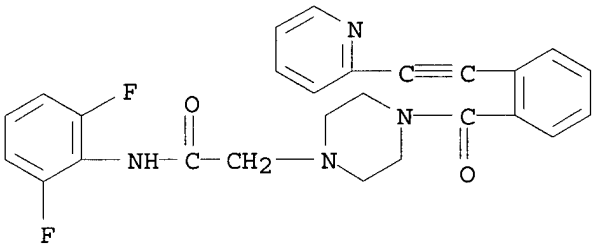
RN 426229-08-5 CAPLUS
CN 1-Piperazineacetamide, N-(2,4-difluorophenyl)-4-[2-(2-pyridinylethynyl)benzoyl]- (9CI) (CA INDEX NAME)



RN 426229-10-9 CAPLUS
CN 1-Piperazineacetamide, N-(2-fluorophenyl)-4-[2-(2-pyridinylethynyl)benzoyl]- (9CI) (CA INDEX NAME)

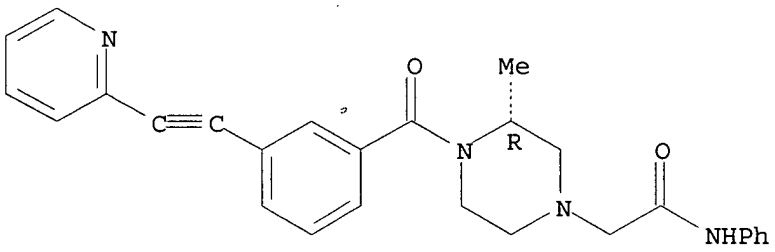


RN 426229-15-4 CAPLUS
CN 1-Piperazineacetamide, N-(2,6-difluorophenyl)-4-[2-(2-pyridinylethynyl)benzoyl]- (9CI) (CA INDEX NAME)



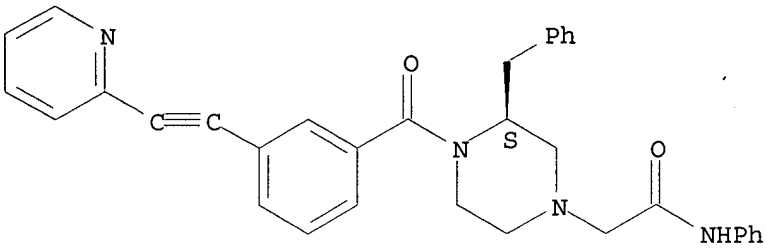
RN 426229-17-6 CAPLUS
CN 1-Piperazineacetamide, 3-methyl-N-phenyl-4-[3-(2-pyridinylethynyl)benzoyl]-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



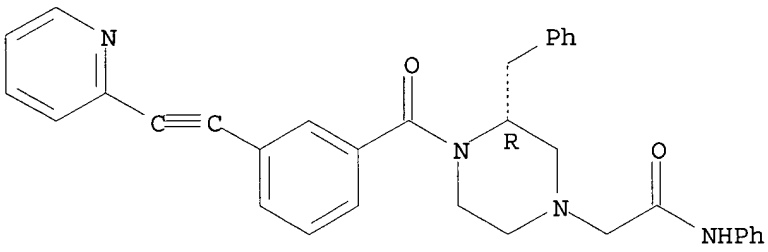
RN 426229-19-8 CAPLUS
CN 1-Piperazineacetamide, N-phenyl-3-(phenylmethyl)-4-[3-(2-pyridinylethynyl)benzoyl]-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

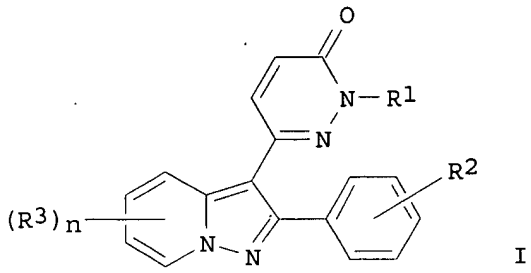


RN 426229-21-2 CAPLUS
CN 1-Piperazineacetamide, N-phenyl-3-(phenylmethyl)-4-[3-(2-pyridinylethynyl)benzoyl]-, (3R)- (9CI) (CA INDEX NAME)

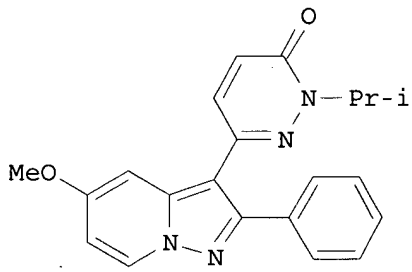
Absolute stereochemistry.



L12 ANSWER 4 OF 19 CAPLUS COPYRIGHT 2003 ACS
GI



I



II

AB Pyrazolopyridines I are disclosed [wherein: R1 = H, (un)substituted lower alkyl or cycloalkyl which may be interrupted by an O or N; R2 = H, halo, or lower alkoxy; R3 = independent substituent(s); and n = 1 to 4; or a salt thereof]. The compds. are adenosine antagonists, and are thus useful for the prevention and/or treatment of a wide variety of medical conditions, e.g., depression, dementia (e.g., Alzheimer's disease, cerebrovascular dementia, dementia accompanying Parkinson's disease, etc.) Parkinson's disease, **anxiety**, pain, cerebrovascular disease (e.g. stroke, etc.), heart failure, and the like. In particular, treatment of Parkinson's disease and/or assocd. symptoms is specifically claimed. Over 330 example compds. are described. For instance, cyclization of 1-amino-4-methoxypyridinium iodide with 3-(benzenesulfonyl)-6-(phenylethynyl)pyridazine, gave 3-(3-phenylsulfonylpyridazin-6-yl)-5-methoxy-2-phenylpyrazolo[1,5-a]pyridine. This compd. was hydrolyzed at the phenylsulfinyl group, and the resultant pyridazinone was N-alkylated with NaH/DMF and iso-PrI to give title compd. II. In radioligand binding assays, II had Ki values of 0.15 nM for human A1 receptors and 1.38 nM for human A2A receptors. In an anticatalepsy test in mice, 6 tested example compds. I at 3.2 mg/kg orally completely suppressed the cataleptic effects of haloperidol at 0.32 mg/kg i.p.

ACCESSION NUMBER: 2002:171898 CAPLUS
DOCUMENT NUMBER: 136:232298
TITLE: Pyrazolopyridine compounds and pharmaceutical use thereof as adenosine receptor antagonists
INVENTOR(S): Akahane, Atsushi; Tanaka, Akira; Minagawa, Masatoshi; Itani, Hiromichi; Ohtake, Hiroaki
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 149 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002018382	A1	20020307	WO 2001-JP7322	20010827

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2001080188	A5	20020313	AU 2001-80188	20010827
PRIORITY APPLN. INFO.:			AU 2000-9698	A 20000828
			WO 2001-JP7322	W 20010827

OTHER SOURCE(S): MARPAT 136:232298

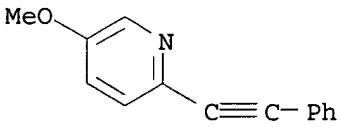
AB . . . wide variety of medical conditions, e.g., depression, dementia (e.g., Alzheimer's disease, cerebrovascular dementia, dementia accompanying Parkinson's disease, etc.) Parkinson's disease, **anxiety**, pain, cerebrovascular disease (e.g. stroke, etc.), heart failure, and the like. In particular, treatment of Parkinson's disease and/or assocd. symptoms. . .

IT 51333-90-5P, 1-(2-Chloroethyl)-2-pyrrolidinone 276860-60-7P, 2-((2R,6S)-2,6-Dimethylmorpholin-4-yl)ethanol 276860-65-2P, (2R,6S)-4-(2-Chloroethyl)-2,6-dimethylmorpholine hydrochloride 403495-90-9P, 3-(3-Phenylsulfonylpyridazin-6-yl)-5-methoxy-2-phenylpyrazolo[1,5-a]pyridine 403495-91-0P, Ethyl 5-methoxy-2-phenylpyrazolo[1,5-a]pyridine-3-carboxylate 403495-92-1P, 5-Methoxy-2-phenylpyrazolo[1,5-a]pyridine 403495-93-2P, 1-(5-Methoxy-2-phenylpyrazolo[1,5-a]pyridin-3-yl)ethanone 403495-95-4P, 5-Methoxy-3-(3-phenylsulfonylpyridazin-6-yl)-2-(2-fluorophenyl)pyrazolo[1,5-a]pyridine 403495-96-5P, 5-Methoxy-3-(3-phenylsulfonylpyridazin-6-yl)-2-(4-fluorophenyl)pyrazolo[1,5-a]pyridine 403495-97-6P, 3-(6-Methoxy-3-pyridazinyl)-2-phenylpyrazolo[1,5-a]pyridine-7-carboxylic acid 403495-98-7P, tert-Butyl [3-(3-methoxy-6-pyridazinyl)-2-phenylpyrazolo[1,5-a]pyridin-7-yl]carbamate 403495-99-8P, 7-Amino-3-(3-methoxy-6-pyridazinyl)-2-phenylpyrazolo[1,5-a]pyridine **403496-00-4P**, 5-Methoxy-2-(phenylethynyl)pyridine 403496-01-5P, 6-Methoxy-2-phenylpyrazolo[1,5-a]pyridine 403496-02-6P, 3-Acetyl-6-methoxy-2-phenylpyrazolo[1,5-a]pyridine 403496-03-7P, 7-Methoxy-3-(3-phenylsulfonylpyridazin-6-yl)-2-phenylpyrazolo[1,5-a]pyridine 403496-04-8P, 4-Methoxy-3-(3-phenylsulfonylpyridazin-6-yl)-2-phenylpyrazolo[1,5-a]pyridine
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; prepn. of pyrazolopyridines as adenosine receptor antagonists)

IT **403496-00-4P**, 5-Methoxy-2-(phenylethynyl)pyridine
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; prepn. of pyrazolopyridines as adenosine receptor antagonists)

RN 403496-00-4 CAPLUS

CN Pyridine, 5-methoxy-2-(phenylethynyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 5 OF 19 CAPLUS COPYRIGHT 2003 ACS

AB The stress-induced hyperthermia test is a paradigm developed several years ago to model the expression of autonomic hyperactivity in **anxiety**. Whereas in the classical stress-induced hyperthermia, cohort removal was used, in a recently described modification of the stress-induced hyperthermia model singly housed mice rather than groups of mice were used. The modification of this model can be summarized as follows: rectal temp. is recorded in singly housed animals at two consecutive time-points (T1 and T2) which are interspaced by a defined time-interval (15 min). Since the value at the second temp.-recording exceeds the value of the initial measure it is the difference between these two core-temps. which reflects stress-induced hyperthermia. In the present study, the stress-induced hyperthermia paradigm, in its modified design, was evaluated in OF1/IC mice. By comparing the effect of various compds. in both the modified as well as the classical (cohort removal) stress-induced hyperthermia paradigm, a very high correlation was found for the pharmacol. sensitivity of the two paradigms. Furthermore, it was demonstrated that other **anxiolytics**, all known to be active in the classical stress-induced hyperthermia paradigm, such as the benzodiazepines chlordiazepoxide (0.3, 1, 3, 10 mg/kg, p.o.), diazepam (0.1, 0.3, 1, 3 mg/kg, p.o.), clobazam (5 or 10 mg/kg, p.o.) and oxazepam (5 or 10 mg/kg, p.o.) as well as the non-benzodiazepines buspirone (7.5 or 15 mg/kg, p.o.) and ethanol (15% or 30%, 10 mL/kg, p.o.), showed a marked redn. in stress-induced hyperthermia in the modified design. New candidate **anxiolytics**, i.e. the metabotropic glutamate (mGlu) receptor group 2 agonist LY314582 (1 or 10 mg/kg, p.o.; racemic mixt. of LY354740 ((2S,4S)-2-amino-4-(4,4-diphenylbut-1-yl)-pentane-1,5-dioic acid)), the metabotropic glutamate 5 receptor antagonist MPEP (1, 7.5, 15 or 30 mg/kg, p.o.; 2-methyl-6-(phenylethynyl)pyridine) and the neurokinin 1 (NK1) receptor antagonist NKP608 (0.01 or 0.1 mg/kg, p.o.; quinoline-4-carboxylic acid [trans-(2R,4S)-1-(3,5-bis-trifluoromethyl-benzoyl)-2-(4-chloro-benzyl)-piperidin-4-yl]-amide) also reduced stress-induced hyperthermia in the modified paradigm clearly indicating **anxiolytic**-like activity for these compds. Finally, the effects of the classical benzodiazepine chlordiazepoxide (10 mg/kg, p.o.), in parallel with its effect on stress-induced hyperthermia, were also investigated for its effect on plasma concns. of the two stress hormones, ACTH (ACTH) and corticosterone. It was shown that all three parameters were significantly increased 15 min after T1 in vehicle-treated mice whereas the increase was significantly attenuated following pre-treatment with chlordiazepoxide. In conclusion, all the data presented here indicate that the modified version of the stress-induced hyperthermia-paradigm is a valid and interesting alternative to the classical stress-induced hyperthermia test.

ACCESSION NUMBER: 2002:89508 CAPLUS
DOCUMENT NUMBER: 137:15669
TITLE: Pharmacological and endocrinological characterisation of stress-induced hyperthermia in singly housed mice using classical and candidate **anxiolytics**

(LY314582, MPEP and NKP608)

AUTHOR(S): Spooren, Will P. J. M.; Schoeffter, Philippe; Gasparini, Fabrizio; Kuhn, Rainer; Gentsch, Conrad

CORPORATE SOURCE: Nervous System Research, Novartis Pharma AG, Basel, CH-4002, Switz.

SOURCE: European Journal of Pharmacology (2002), 435(2-3), 161-170

CODEN: EJPHAZ; ISSN: 0014-2999

PUBLISHER: Elsevier Science B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

TI Pharmacological and endocrinological characterisation of stress-induced hyperthermia in singly housed mice using classical and candidate **anxiolytics** (LY314582, MPEP and NKP608)

AB The stress-induced hyperthermia test is a paradigm developed several years ago to model the expression of autonomic hyperactivity in **anxiety** . Whereas in the classical stress-induced hyperthermia, cohort removal was used, in a recently described modification of the stress-induced hyperthermia model. . . a very high correlation was found for the pharmacol. sensitivity of the two paradigms. Furthermore, it was demonstrated that other **anxiolytics**, all known to be active in the classical stress-induced hyperthermia paradigm, such as the benzodiazepines chlordiazepoxide (0.3, 1, 3, 10. . . ethanol (15% or 30%, 10 mL/kg, p.o.), showed a marked redn. in stress-induced hyperthermia in the modified design. New candidate **anxiolytics**, i.e. the metabotropic glutamate (mGlu) receptor group 2 agonist LY314582 (1 or 10 mg/kg, p.o.; racemic mixt. of LY354740 ((2S,4S)-2-amino-4-(4,4-diphenylbut-1-yl)-pentane-1,5-dioic. . . antagonist NKP608 (0.01 or 0.1 mg/kg, p.o.; quinoline-4-carboxylic acid [trans-(2R,4S)-1-(3,5-bis-trifluoromethyl-benzoyl)-2-(4-chloro-benzyl)-piperidin-4-yl]-amide) also reduced stress-induced hyperthermia in the modified paradigm clearly indicating **anxiolytic**-like activity for these compds. Finally, the effects of the classical benzodiazepine chlordiazepoxide (10 mg/kg, p.o.), in parallel with its effect. . .

ST stress hyperthermia **anxiolytic** LY314582 MPEP NKP608 hormone; human **anxiety** chlordiazepoxide diazepam clobazam oxazepam buspirone ethanol

IT Glutamate receptors
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(metabotropic, mGluR2; pharmacol. and endocrinol. characterization of stress-induced hyperthermia in singly housed mice using classical and candidate **anxiolytics** (LY314582, MPEP and NKP608))

IT Glutamate receptors
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(metabotropic, mGluR3; pharmacol. and endocrinol. characterization of stress-induced hyperthermia in singly housed mice using classical and candidate **anxiolytics** (LY314582, MPEP and NKP608))

IT **Anxiety**
Anxiolytics
Human
Hyperthermia (therapeutic)
Stress, animal
(pharmacol. and endocrinol. characterization of stress-induced hyperthermia in singly housed mice using classical and candidate **anxiolytics** (LY314582, MPEP and NKP608))

IT Tachykinins
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(pharmacol. and endocrinol. characterization of stress-induced

hyperthermia in singly housed mice using classical and candidate **anxiolytics** (LY314582, MPEP and NKP608))

IT Intestine
(rectum; pharmacol. and endocrinol. characterization of stress-induced hyperthermia in singly housed mice using classical and candidate **anxiolytics** (LY314582, MPEP and NKP608))

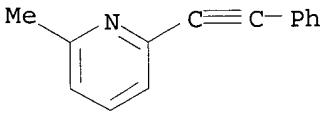
IT Tachykinin receptors
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(type NK1; pharmacol. and endocrinol. characterization of stress-induced hyperthermia in singly housed mice using classical and candidate **anxiolytics** (LY314582, MPEP and NKP608))

IT 50-22-6, Corticosterone 9002-60-2, ACTH, biological studies
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(pharmacol. and endocrinol. characterization of stress-induced hyperthermia in singly housed mice using classical and candidate **anxiolytics** (LY314582, MPEP and NKP608))

IT 58-25-3, Chlordiazepoxide 64-17-5, Ethanol, biological studies
439-14-5, Diazepam 604-75-1, Oxazepam 22316-47-8, Clobazam
36505-84-7, Buspirone **96206-92-7**, MPEP 176027-90-0, LY314582
177707-12-9, NKP608
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmacol. and endocrinol. characterization of stress-induced hyperthermia in singly housed mice using classical and candidate **anxiolytics** (LY314582, MPEP and NKP608))

IT **96206-92-7**, MPEP
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmacol. and endocrinol. characterization of stress-induced hyperthermia in singly housed mice using classical and candidate **anxiolytics** (LY314582, MPEP and NKP608))

RN 96206-92-7 CAPLUS
CN Pyridine, 2-methyl-6-(phenylethynyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 6 OF 19 CAPLUS COPYRIGHT 2003 ACS

AB The present invention provides solid pharmaceutical compns. for improved delivery of a wide variety of pharmaceutical active ingredients contained therein or sep. administered. In one embodiment, the solid pharmaceutical compn. includes a solid carrier, the solid carrier including a substrate and an encapsulation coat on the substrate. The encapsulation coat can include different combinations of pharmaceutical active ingredients, hydrophilic surfactant, lipophilic surfactants and triglycerides. In another embodiment, the solid pharmaceutical compn. includes a solid carrier, the solid carrier being formed of different combinations of pharmaceutical active ingredients, hydrophilic surfactants, lipophilic surfactants and triglycerides. The compns. of the present invention can be used for improved delivery of hydrophilic or hydrophobic pharmaceutical active ingredients, such as drugs, nutritionals, cosmeceuticals and

diagnostic agents. A compn. contained glyburide 1, PEG 40 stearate 33, glycerol monolaurate 17, and nonpareil seed 80 g.

ACCESSION NUMBER: 2001:396644 CAPLUS
DOCUMENT NUMBER: 135:24671
TITLE: Solid carriers for improved delivery of active ingredients in pharmaceutical compositions
INVENTOR(S): Patel, Manesh V.; Chen, Feng-jing
PATENT ASSIGNEE(S): Lipocine, Inc., USA
SOURCE: PCT Int. Appl., 107 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001037808	A1	20010531	WO 2000-US32255	20001122
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6248363	B1	20010619	US 1999-447690	19991123
EP 1233756	A1	20020828	EP 2000-980761	20001122
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				

PRIORITY APPLN. INFO.: US 1999-447690 A 19991123
WO 2000-US32255 W 20001122

IT Analgesics
Anti-inflammatory agents
Anticoagulants
Anticonvulsants
Antidepressants
Antidiabetic agents
Antihistamines
Antihypertensives
Antimalarials
Antipsychotics
Antitumor agents
Anxiolytics
Fungicides
Hypnotics and Sedatives
Immunosuppressants
Muscarinic antagonists
Muscle relaxants
Plasticizers
Protozoacides
Sweetening agents
Tranquilizers
Vaccines
(solid carriers for improved delivery of active ingredients in pharmaceutical compns.)

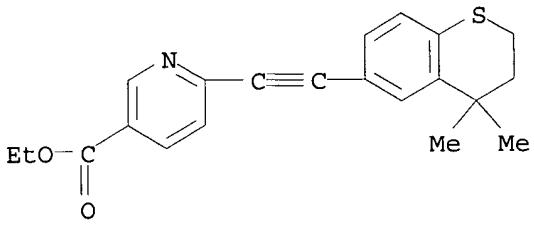
IT 69049-74-7, Nedocromil sodium 69655-05-6, Didanosine 69756-53-2,

Halofantrine 70288-86-7, Ivermectin 70458-92-3, Pefloxacin 70458-96-7, Norfloxacin 71486-22-1, Vinorelbine 72432-03-2, Miglitol 72559-06-9, Rifabutine 73384-59-5, Ceftriaxone 73590-58-6, Omeprazole 73963-72-1, Cilostazol 74011-58-8, Enoxacin 74103-06-3, Ketorolac 74356-00-6, Cefotetan disodium 74381-53-6, Leuprolide acetate 75330-75-5, Lovastatin 75706-12-6, Leflunomide 76420-72-9, Enalaprilat 76470-66-1, Loracarbef 76547-98-3, Lisinopril 76824-35-6, Famotidine 76963-41-2, Nizatidine 78110-38-0, Aztreonam 79350-37-1, Cefixime 79517-01-4, Octreotide acetate 79617-96-2, Sertraline 79794-75-5, Loratadine 79902-63-9, Simvastatin 81093-37-0, Pravastatin 81098-60-4, Cisapride 81103-11-9, Clarithromycin 81161-17-3, Esmolol hydrochloride 82410-32-0, Ganciclovir 82419-36-1, Ofloxacin 82626-48-0, Zolpidem 82952-64-5, Trimetrexate glucuronate 83799-24-0, Fexofenadine 83869-56-1, Granulocyte-macrophage colony stimulating factor 83881-51-0, Cetirizine 83905-01-5, Azithromycin 84057-84-1, Lamotrigine 84371-65-3, Mifepristone 84449-90-1, Raloxifene 84625-61-6, Itraconazole 85721-33-1, Ciprofloxacin 86386-73-4, Fluconazole 86541-75-5, Benazepril 87679-37-6, Trandolapril 88150-42-9, Amlodipine 88669-04-9, Trospectomycin 89778-26-7, Toremifene 89987-06-4, Tiludronate 90357-06-5, Bicalutamide 91161-71-6, Terbinafine 93390-81-9, Fosphenytoin 93413-69-5, Venlafaxine 93479-97-1, Glimepiride 93957-54-1, Fluvastatin 94749-08-3, Salmeterol xinafoate 95233-18-4, Atovaquone 97240-79-4, Topiramate 97322-87-7, Troglitazone 97682-44-5, Irinotecan 98079-51-7, Lomefloxacin 98319-26-7, Finasteride 100986-85-4, Levofloxacin 101828-21-1, Butenafine 103577-45-3, Lansoprazole 103628-46-2, Sumatriptan 104227-87-4, Famciclovir 104987-11-3, Tacrolimus 105462-24-6, Risedronic acid 106133-20-4, Tamsulosin 106392-12-5, Oxirane, polymer with methyloxirane, block 106650-56-0, Sibutramine 106819-53-8, Doxacurium chloride 106861-44-3, Mivacurium chloride 107648-80-6, Cefepime hydrochloride 107753-78-6, Zafirlukast 109319-16-6, Factor VIII 110871-86-8, Sparfloxacin 111025-46-8, Pioglitazone 111406-87-2, Zileuton 112965-21-6, Calcipotriene 113427-24-0 113665-84-2, Clopidogrel 113852-37-2, Cidofovir 115103-54-3, Tiagabine 116094-23-6, Insulin aspart 117976-89-3, Rabeprazole 118072-93-8, Zoledronate **118292-40-3**, Tazarotene 119914-60-2, Grepafloxacin 120014-06-4, Donepezil 121368-58-9, Olpadronate 121679-13-8, Naratriptan 122320-73-4, Rosiglitazone 123948-87-8, Topotecan 124832-26-4, Valaciclovir 127759-89-1, Lobucavir 127779-20-8, Saquinavir 129497-78-5, Verteporfin 131918-61-1, Paricalcitol 133040-01-4, Eprosartan 133107-64-9, Insulin lispro 134523-00-5, Atorvastatin 134678-17-4, Lamivudine 135062-02-1, Repaglinide 137862-53-4, Valsartan 138402-11-6, Irbesartan 139110-80-8, Zanamivir 139264-17-8, Zolmitriptan 139481-59-7, Candesartan 139639-23-9, Tissue type plasminogen activator 142128-59-4, Terzolin 143003-46-7, Alglucerase 143011-72-7, Granulocyte colony stimulating factor 143831-71-4 144034-80-0, Rizatriptan 144494-65-5, Tirofiban 144701-48-4, Telmisartan 145599-86-6, Cerivastatin 145941-26-0, Oprelvekin 146961-76-4, Alatrofloxacin 147059-72-1, Trovafloxacin 148553-50-8, Pregabalin 151126-32-8, Pramlintide 153559-49-0, Targretin 154361-50-9, Capecitabine 154598-52-4, Efavirenz 155213-67-5, Ritonavir 157810-81-6, Indinavir sulfate 158747-02-5, Frovatriptan 158966-92-8, Montelukast 159989-64-7, Nelfinavir 160337-95-1, Insulin glargine 162011-90-7, Rofecoxib 165101-51-9, Becaplermin 169148-63-4, Insulin detemir 169590-42-5, Celecoxib 171599-83-0, Sildenafil citrate 173146-27-5, Denileukin diftitox 191588-94-0, TNK-tPA
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(solid carriers for improved delivery of active ingredients in pharmaceutical compns.)

IT **118292-40-3**, Tazarotene
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(solid carriers for improved delivery of active ingredients in pharmaceutical compns.)

RN 118292-40-3 CAPLUS
CN 3-Pyridinecarboxylic acid, 6-[(3,4-dihydro-4,4-dimethyl-2H-1-benzothiopyran-6-yl)ethynyl]-, ethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 7 OF 19 CAPLUS COPYRIGHT 2003 ACS

AB Several lines of evidence suggest a crucial involvement of glutamate in the mechanism of action of **anxiolytic** and/or antidepressant drugs. The involvement of group I metabotropic glutamate (mGlu) receptors in **anxiety** and depression has also been proposed. Given the recent discovery of selective and brain-penetrating mGlu5 receptor antagonists, the effect of 2-methyl-6-(phenylethynyl)pyridine (MPEP), i.e., the most potent compd. described, was evaluated in established models of **anxiety** and depression. Expts. were performed on male Wistar rats or male Albino Swiss or C57BL/6J mice. The **anxiolytic** -like effects of MPEP were tested in the conflict drinking test and the elevated plus-maze test in rats as well as in the 4-plate test in mice. The antidepressant-like effect was estd. by the tail suspension test in mice and the behavioral despair test in rats. MPEP (1-30 mg/kg) induced **anxiolytic**-like effects in the conflict drinking test and the elevated plus-maze test in rats as well as in the 4-plate test in mice. MPEP had no effect on locomotor activity or motor coordination. MPEP (1-20 mg/kg) shortened the immobility time in the tail suspension test in mice; however, it was inactive in the behavioral despair test in rats. These data suggest that selective mGlu5 receptor antagonists may be useful in the therapy of **anxiety** and/or depression.

ACCESSION NUMBER: 2001:282213 CAPLUS
DOCUMENT NUMBER: 135:102445
TITLE: Potential **anxiolytic**- and antidepressant-like effects of MPEP, a potent, selective and systemically active mGlu5 receptor antagonist
AUTHOR(S): Tatarczynska, Ewa; Klodzinska, Aleksandra; Chojnacka-Wojcik, Ewa; Palucha, Agnieszka; Gasparini, Fabrizio; Kuhn, Rainer; Pilc, Andrzej
CORPORATE SOURCE: Institute of Pharmacology, Polish Academy of Sciences, Krakow, 31-343, Pol.
SOURCE: British Journal of Pharmacology (2001), 132(7), 1423-1430

CODEN: BJPCBM; ISSN: 0007-1188
PUBLISHER: Nature Publishing Group
DOCUMENT TYPE: Journal
LANGUAGE: English

TI Potential **anxiolytic**- and antidepressant-like effects of MPEP, a potent, selective and systemically active mGlu5 receptor antagonist

AB Several lines of evidence suggest a crucial involvement of glutamate in the mechanism of action of **anxiolytic** and/or antidepressant drugs. The involvement of group I metabotropic glutamate (mGlu) receptors in **anxiety** and depression has also been proposed. Given the recent discovery of selective and brain-penetrating mGlu5 receptor antagonists, the effect of 2-methyl-6-(phenylethynyl)pyridine (MPEP), i.e., the most potent compd. described, was evaluated in established models of **anxiety** and depression. Expts. were performed on male Wistar rats or male Albino Swiss or C57BL/6J mice. The **anxiolytic** -like effects of MPEP were tested in the conflict drinking test and the elevated plus-maze test in rats as well as. . . was estd. by the tail suspension test in mice and the behavioral despair test in rats. MPEP (1-30 mg/kg) induced **anxiolytic**-like effects in the conflict drinking test and the elevated plus-maze test in rats as well as in the 4-plate test. . . behavioral despair test in rats. These data suggest that selective mGlu5 receptor antagonists may be useful in the therapy of **anxiety** and/or depression.

ST MPEP glutamate receptor antagonist **anxiolytic** antidepressant

IT Antidepressants
Anxiolytics
(**anxiolytic**- and antidepressant-like effects of MPEP, a potent, selective and systemically active metabotropic glutamate-5 receptor antagonist)

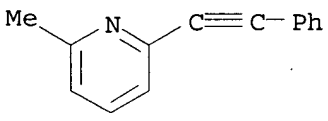
IT Glutamate receptors
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(metabotropic, antagonists; **anxiolytic**- and antidepressant-like effects of MPEP, a potent, selective and systemically active metabotropic glutamate-5 receptor antagonist)

IT **96206-92-7**, MPEP
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(**anxiolytic**- and antidepressant-like effects of MPEP, a potent, selective and systemically active metabotropic glutamate-5 receptor antagonist)

IT **96206-92-7**, MPEP
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(**anxiolytic**- and antidepressant-like effects of MPEP, a potent, selective and systemically active metabotropic glutamate-5 receptor antagonist)

RN 96206-92-7 CAPLUS

CN Pyridine, 2-methyl-6-(phenylethynyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 41 THERE ARE 41 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 8 OF 19 CAPLUS COPYRIGHT 2003 ACS

AB We examd. the **anxiolytic**-like activity of 2-methyl-6-(phenylethynyl)-pyridine (MPEP) using the conflict drinking Vogel test in rats as a model. MPEP is a selective and brain-penetrable mGlu5 receptor antagonist, the most potent compd. described so far. The results indicate that MPEP produced a dose-dependent anticonflict effect in rats. These data suggest that selective mGlu5 receptor antagonists may become a new class of **anxiolytics**.

ACCESSION NUMBER: 2001:82456 CAPLUS
DOCUMENT NUMBER: 135:102418
TITLE: **Anxiolytic**-like effects of group I metabotropic glutamate antagonist 2-methyl-6-(phenylethynyl)-pyridine (MPEP) in rats
AUTHOR(S): Klodzinska, Aleksandra; Tatarczynska, Ewa; Chojnacka-Wojcik, Ewa; Pilc, Andrzej
CORPORATE SOURCE: Institute of Pharmacology, Polish Academy of Sciences, Krakow, PL 31-343, Pol.
SOURCE: Polish Journal of Pharmacology (2000), 52(6), 463-466
CODEN: PJPAE3; ISSN: 1230-6002
PUBLISHER: Polish Academy of Sciences, Institute of Pharmacology
DOCUMENT TYPE: Journal
LANGUAGE: English

TI **Anxiolytic**-like effects of group I metabotropic glutamate antagonist 2-methyl-6-(phenylethynyl)-pyridine (MPEP) in rats

AB We examd. the **anxiolytic**-like activity of 2-methyl-6-(phenylethynyl)-pyridine (MPEP) using the conflict drinking Vogel test in rats as a model. MPEP is a selective and. . . a dose-dependent anticonflict effect in rats. These data suggest that selective mGlu5 receptor antagonists may become a new class of **anxiolytics**.

ST **anxiolytic** metabotropic glutamate mGlu5 receptor antagonist methylphenylethynylpyridine

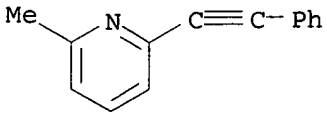
IT **Anxiolytics**
(**anxiolytic**-like effects of group I metabotropic glutamate antagonist 2-methyl-6-(phenylethynyl)-pyridine (MPEP) in rats)

IT Glutamate antagonists
(mGlu5 receptor antagonists; **anxiolytic**-like effects of group I metabotropic glutamate antagonist 2-methyl-6-(phenylethynyl)-pyridine (MPEP) in rats)

IT **96206-92-7**, 2-Methyl-6-(phenylethynyl)pyridine
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(**anxiolytic**-like effects of group I metabotropic glutamate antagonist 2-methyl-6-(phenylethynyl)-pyridine (MPEP) in rats)

IT **96206-92-7**, 2-Methyl-6-(phenylethynyl)pyridine
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(**anxiolytic**-like effects of group I metabotropic glutamate antagonist 2-methyl-6-(phenylethynyl)-pyridine (MPEP) in rats)

RN 96206-92-7 CAPLUS
CN Pyridine, 2-methyl-6-(phenylethynyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 9 OF 19 CAPLUS COPYRIGHT 2003 ACS

AB A review with 66 refs. is given. SIBIA and Novartis are investigating the use of excitatory amino acid agonists and antagonists for the metabotropic receptor and the ionotropic receptors AMPA and NMDA. Preliminary expts. indicate they may have potential in the treatment of epilepsy, stroke, **anxiety**, pain, and neurodegenerative disease. Methylphenylethynylpyridine (MPEP) is the lead compd. in the series. Other compds. in the series that arose from the collaboration were SIB-1893, and its equipotent analog, SIB-1757, both of which are subtype-selective, potent antagonists of mGluR5. Chem. derivation of SIB-1893 resulted in the discovery of MPEP, a selective, systemically active noncompetitive mGluR5 antagonist. Studies using these agents have yielded data to support the involvement of mGluR5 in inflammatory mech. hyperalgesia. MPEP is the most potent of these compds. with an IC50 value of 12 nM for inhibition of quisqualate-stimulated phosphoinositide hydrolysis in recombinant human mGluR5a-expressing cells. MPEP exhibited no cross reactivity with mGluR1 and other mGluRs, or against representative NMDA, AMPA, and kainate receptors up to concns. of 100 .mu.M. The compd., administered orally (100 mg/kg) produced a 70% reversal of mech. hyperalgesia in the Freund's complete adjuvant model of inflammatory pain. By Oct. 1999, investigations with SIB-1757 and SIB-1893 had been discontinued in favor of MPEP.

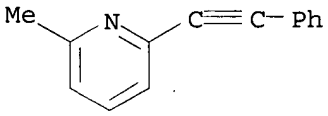
ACCESSION NUMBER: 2000:903884 CAPLUS
DOCUMENT NUMBER: 135:13755
TITLE: Methylphenylethynylpyridine (MPEP)(Novartis)
AUTHOR(S): Micheli, Fabrizio
CORPORATE SOURCE: Glaxo Wellcome Medicines Research Centre, Verona, 37135, Italy
SOURCE: Current Opinion in Investigational Drugs (PharmaPress Ltd.) (2000), 1(3), 355-359
CODEN: COIDAZ
PUBLISHER: PharmaPress Ltd.
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English

AB . . . and the ionotropic receptors AMPA and NMDA. Preliminary expts. indicate they may have potential in the treatment of epilepsy, stroke, **anxiety**, pain, and neurodegenerative disease. Methylphenylethynylpyridine (MPEP) is the lead compd. in the series. Other compds. in the series that arose. . .

IT Glutamate receptors
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(AMPA-binding, antagonist; MPEP in treatment of epilepsy, stroke, **anxiety**, pain, and neurodegenerative disease)

IT Analgesics
Anti-ischemic agents
Anticonvulsants
Anxiolytics
(MPEP in treatment of epilepsy, stroke, **anxiety**, pain, and neurodegenerative disease)

IT Glutamate antagonists
(NMDA antagonists; MPEP in treatment of epilepsy, stroke, **anxiety**, pain, and neurodegenerative disease)
IT Glutamate receptors
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(metabotropic, mGluR5, antagonist; MPEP in treatment of epilepsy, stroke, **anxiety**, pain, and neurodegenerative disease)
IT **96206-92-7**, MPEP
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(MPEP in treatment of epilepsy, stroke, **anxiety**, pain, and neurodegenerative disease)
IT **96206-92-7**, MPEP
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(MPEP in treatment of epilepsy, stroke, **anxiety**, pain, and neurodegenerative disease)
RN 96206-92-7 CAPLUS
CN Pyridine, 2-methyl-6-(phenylethynyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 66 THERE ARE 66 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 10 OF 19 CAPLUS COPYRIGHT 2003 ACS
AB Recently, selective and systemically active antagonists for the metabotropic glutamate 5 receptor (mGlu5) were discovered, and the most potent deriv. was found to be MPEP (2-methyl-6-(phenylethynyl)pyridine). Given the high expression of mGlu5 receptors in limbic forebrain regions, it was decided to evaluate the **anxiolytic** potential of MPEP. After an acute oral administration, MPEP attenuated the **anxiety**-dependent variable in a variety of well established **anxiety** test paradigms. In rats, MPEP (10, 30, and 100 mg/kg) increased punished responses in the Geller-Seifter test, but none of these effects reached statistical significance. MPEP significantly increased the ratio (open/total arm entries; 0.1, 1, and 10 mg/kg), the no. of open arm entries (0.1, 1, and 10 mg/kg), as well as time spent on open arm (0.1 and 1 mg/kg) in the elevated plus maze test. Furthermore, MPEP (0.3 and 1 mg/kg) significantly increased the time spent in social contact in the social exploration test. In mice, MPEP attenuated stress-induced hyperthermia (15 and 30 mg/kg) and decreased the no. of buried marbles in the marble burying test (7.5 and 30 mg/kg). Finally, MPEP (0.01, 0.1, 1, 10, and 100 mg/kg) was tested on spontaneous locomotor activity in mice, and only a dose of 100 mg/kg significantly reduced vertical activity; no effect was seen on horizontal activity. MPEP (7.5, 15, and 30 mg/kg) was ineffective on d-amphetamine-induced (2.5 mg/kg) locomotor activity in mice and prepulse inhibition in rats (1, 3, or 10 mg/kg). Thus, these findings indicate that MPEP exhibits **anxiolytic**-like effects and low risks for sedation and psychotomimetic side-effects in rodents.
ACCESSION NUMBER: 2000:846141 CAPLUS

DOCUMENT NUMBER: 134:36966
TITLE: **Anxiolytic**-like effects of the prototypical metabotropic glutamate receptor 5 antagonist 2-methyl-6-(phenylethynyl)pyridine in rodents
AUTHOR(S): Spooren, Will P. J. M.; Vassout, Annick; Neijt, Hans C.; Kuhn, Rainer; Gasparini, Fabrizio; Roux, Silvain; Porsolt, Roger D.; Gentsch, Conrad
CORPORATE SOURCE: Nervous System Research, Novartis Pharma AG, Basel, Switz.
SOURCE: Journal of Pharmacology and Experimental Therapeutics (2000), 295(3), 1267-1275
CODEN: JPETAB; ISSN: 0022-3565
PUBLISHER: American Society for Pharmacology and Experimental Therapeutics
DOCUMENT TYPE: Journal
LANGUAGE: English

TI **Anxiolytic**-like effects of the prototypical metabotropic glutamate receptor 5 antagonist 2-methyl-6-(phenylethynyl)pyridine in rodents

AB . . . be MPEP (2-methyl-6-(phenylethynyl)pyridine). Given the high expression of mGlu5 receptors in limbic forebrain regions, it was decided to evaluate the **anxiolytic** potential of MPEP. After an acute oral administration, MPEP attenuated the **anxiety**-dependent variable in a variety of well established **anxiety** test paradigms. In rats, MPEP (10, 30, and 100 mg/kg) increased punished responses in the Geller-Seifter test, but none of. . . activity in mice and prepulse inhibition in rats (1, 3, or 10 mg/kg). Thus, these findings indicate that MPEP exhibits **anxiolytic**-like effects and low risks for sedation and psychotomimetic side-effects in rodents.

ST methylphenylethynylpyridine mGluR5 antagonist **anxiolytic**

IT Behavior
(locomotor; mGluR5 antagonist 2-methyl-6-(phenylethynyl)pyridine exhibits **anxiolytic**-like effects with low risks for sedation and psychotomimetic side-effects in rodents)

IT **Anxiolytics**
Psychotomimetics
(mGluR5 antagonist 2-methyl-6-(phenylethynyl)pyridine exhibits **anxiolytic**-like effects with low risks for sedation and psychotomimetic side-effects in rodents)

IT Glutamate receptors
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(metabotropic, mGluR5; mGluR5 antagonist 2-methyl-6-(phenylethynyl)pyridine exhibits **anxiolytic**-like effects with low risks for sedation and psychotomimetic side-effects in rodents)

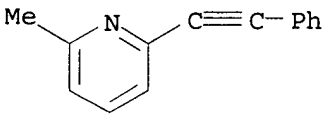
IT Mental activity
(sedation; mGluR5 antagonist 2-methyl-6-(phenylethynyl)pyridine exhibits **anxiolytic**-like effects with low risks for sedation and psychotomimetic side-effects in rodents)

IT **96206-92-7**, 2-Methyl-6-(phenylethynyl)pyridine
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(mGluR5 antagonist 2-methyl-6-(phenylethynyl)pyridine exhibits **anxiolytic**-like effects with low risks for sedation and psychotomimetic side-effects in rodents)

IT **96206-92-7**, 2-Methyl-6-(phenylethynyl)pyridine
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU

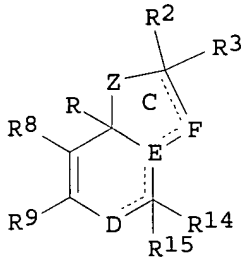
(Therapeutic use); BIOL (Biological study); USES (Uses)
(mGluR5 antagonist 2-methyl-6-(phenylethynyl)pyridine exhibits **anxiolytic**-like effects with low risks for sedation and psychotomimetic side-effects in rodents)

RN 96206-92-7 CAPLUS
CN Pyridine, 2-methyl-6-(phenylethynyl)- (9CI) (CA INDEX NAME)

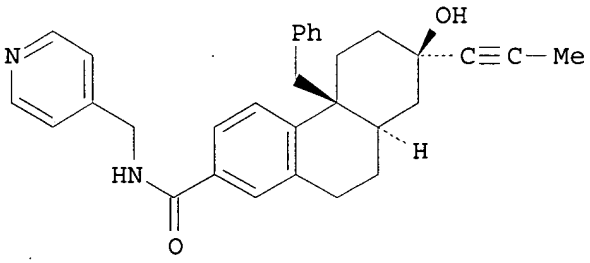


REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 11 OF 19 CAPLUS COPYRIGHT 2003 ACS
GI



I



II

AB Title compds. [e.g., I; D = CR7, CR7R16, N, NR7, O' E = C, CR6, N; F = CR4, CR4R5, O; R = XR1; R1 = H, alkyl, acylalkyl, arylalkyl, etc.; R2 = H, halo, alkyl, alkoxy, etc.; R3 = H, alkyl, arylalkyl, etc.; 1 of R2,R3 = null when adjacent dashed line = bond; R4,R5 = H, cyano, alkyl, alkoxy, etc.; R4R5 = O; R6 = H, cyano, alkyl, alkoxy, OH, etc.; R7,R16 = H, halo, cyano, alkyl, etc.; R7R16 = O; R8R9 = atoms to complete a substituted heteroarom. ring; R14,R15 = H, halo, alkyl, alkoxy, etc.; R14R15 = O when adjacent dashed lines = null; X = bond, CH2, CH(OH), CO; Z = (un)substituted CH2, -CH2CH2, -CH2CO, CO, etc.; dashed lines = optional bonds] were prepd. as glucocorticoid receptor modulators (no data). E.g., 6-methoxy-2-tetralone was alkylated by formation of the pyrrolidine enamine and alkylation with benzyl bromide; the benzylated ketone then

undergoes asym. Michael addn. with Me vinyl ketone in the presence of (S)-(-)-.alpha.-methylbenzylamine followed by cyclocondensation with sodium methoxide to give a nonracemic methoxytetrahydrophenanthrenone deriv. E.g., demethylation of the methoxytetrahydrophenanthrenone with boron trichloride, redn. of the enone with lithium and ammonia, addn. of 1-lithiopropyne to the ketone, formation of the aryl triflate with triflic anhydride and carbonylation with carbon monoxide in the presence in the presence of palladium acetate and bis(diphenylphosphino)propanol gives an hydroxyoctahydrophenanthrenecarboxylic acid deriv. which is coupled with 4-(aminomethyl)pyridine in the presence of trimethylaluminum to give the octahydrophenanthrenecarboxamide II as one of the title compds.

ACCESSION NUMBER: 2000:790448 CAPLUS
DOCUMENT NUMBER: 133:350060
TITLE: Preparation of nonracemic octahydrophenanthrene and other tricyclic derivs. as selective modulators of glucocorticoid receptors
INVENTOR(S): Dow, Robert Lee; Liu, Kevin Kun-Chin; Morgan, Bradley Paul; Swick, Andrew Gordon
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: PCT Int. Appl., 279 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000066522	A1	20001109	WO 2000-IB366	20000327
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
BR 2000010138	A	20020122	BR 2000-10138	20000327
EP 1175383	A1	20020130	EP 2000-911172	20000327
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002543169	T2	20021217	JP 2000-615356	20000327
US 6380223	B1	20020430	US 2000-559384	20000427
NO 2001005272	A	20011228	NO 2001-5272	20011029
US 2002147336	A1	20021010	US 2002-80174	20020219

PRIORITY APPLN. INFO.: US 1999-132130P P 19990430
WO 2000-IB366 W 20000327
US 2000-559384 A3 20000427

OTHER SOURCE(S): MARPAT 133:350060

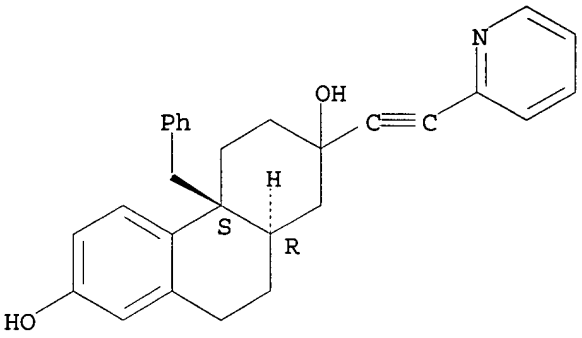
IT **Anxiety**
Arthritis
Asthma
Diabetes mellitus
Inflammation
Obesity
(prepn. of nonracemic octahydrophenanthrene and other tricyclic derivs. as selective modulators of glucocorticoid receptors)

IT 305826-48-6P 305826-49-7P 305826-50-0P 305826-51-1P 305826-52-2P
305826-53-3P 305826-54-4P 305826-55-5P 305826-56-6P 305826-57-7P
305826-58-8P 305826-59-9P 305826-60-2P 305826-61-3P 305826-62-4P
305826-63-5P 305826-64-6P 305826-65-7P 305826-66-8P 305826-67-9P
305826-68-0P 305826-69-1P 305826-70-4P 305826-71-5P 305826-72-6P
305826-73-7P 305826-74-8P **305826-75-9P** 305826-77-1P
305826-78-2P 305826-79-3P 305826-80-6P 305826-81-7P 305826-82-8P
305826-83-9P 305826-84-0P 305826-85-1P 305826-86-2P 305826-87-3P
305826-88-4P 305826-89-5P 305826-90-8P 305826-91-9P 305826-92-0P
305826-93-1P 305826-94-2P 305826-95-3P 305826-96-4P 305826-97-5P
305826-98-6P 305826-99-7P 305827-00-3P 305827-01-4P 305827-02-5P
305827-03-6P 305827-04-7P 305827-05-8P 305827-06-9P 305827-07-0P
305827-08-1P 305827-09-2P 305827-10-5P 305827-11-6P 305827-12-7P
305827-13-8P 305827-14-9P 305827-15-0P 305827-17-2P 305827-18-3P
305827-19-4P 305827-20-7P 305827-21-8P 305827-22-9P 305827-23-0P
305827-24-1P 305827-25-2P 305827-26-3P 305827-27-4P 305827-28-5P
305827-29-6P 305827-30-9P 305827-34-3P 305827-36-5P 305827-38-7P
305827-40-1P 305827-43-4P 305827-44-5P 305827-46-7P 305827-47-8P
305827-48-9P 305827-49-0P 305827-51-4P 305827-53-6P 305827-55-8P
305827-57-0P 305827-59-2P 305827-60-5P 305827-62-7P 305827-63-8P
305827-64-9P 305827-66-1P 305827-70-7P 305827-72-9P 305827-74-1P
305827-76-3P 305827-78-5P 305827-80-9P 305827-82-1P 305827-84-3P
305827-86-5P 305827-88-7P 305827-90-1P 305827-95-6P 305827-97-8P
305827-99-0P 305828-01-7P 305828-03-9P 305828-05-1P 305828-07-3P
305828-09-5P 305828-13-1P 305828-15-3P 305828-17-5P 305828-19-7P
305828-21-1P 305828-23-3P 305828-25-5P 305828-27-7P 305828-28-8P
305828-29-9P 305828-30-2P 305828-31-3P 305828-32-4P 305828-33-5P
305828-34-6P 305828-37-9P 305828-38-0P 305828-39-1P 305828-40-4P
305828-41-5P 305828-42-6P 305828-43-7P 305828-44-8P 305828-45-9P
305828-46-0P 305828-47-1P 305828-48-2P 305828-49-3P 305828-50-6P
305828-51-7P 305828-52-8P 305828-53-9P 305828-54-0P 305828-55-1P
305828-56-2P 305828-57-3P 305828-58-4P 305828-59-5P 305828-60-8P
305828-61-9P 305828-62-0P 305828-63-1P 305828-64-2P 305828-65-3P
305828-66-4P 305828-67-5P 305828-68-6P 305828-69-7P 305828-70-0P
305828-71-1P 305828-72-2P 305828-73-3P 305828-74-4P 305828-75-5P
305828-76-6P 305828-77-7P 305828-78-8P 305828-79-9P 305828-80-2P
305828-81-3P 305828-82-4P 305828-83-5P 305828-84-6P 305828-85-7P
305828-86-8P 305828-87-9P 305828-88-0P 305828-89-1P 305828-90-4P
305828-91-5P 305828-92-6P 305828-93-7P 305828-94-8P 305828-95-9P
305828-96-0P 305828-97-1P 305828-98-2P 305828-99-3P 305829-00-9P
305829-01-0P 305829-02-1P 305829-03-2P 305829-04-3P 305829-05-4P
305829-06-5P 305829-07-6P 305829-08-7P 305829-09-8P 305829-10-1P
305829-11-2P 305829-12-3P 305829-13-4P 305829-14-5P 305829-15-6P
305829-16-7P 305829-17-8P 305829-18-9P 305829-20-3P 305829-21-4P
305829-22-5P 305829-23-6P 305829-24-7P 305829-25-8P 305829-27-0P
305829-28-1P 305829-29-2P 305829-30-5P 305829-31-6P 305829-32-7P
305829-33-8P 305829-34-9P 305829-35-0P 305829-36-1P 305829-37-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of nonracemic octahydrophenanthrene and other tricyclic derivs. as selective modulators of glucocorticoid receptors)

IT **305826-75-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of nonracemic octahydrophenanthrene and other tricyclic derivs. as selective modulators of glucocorticoid receptors)

RN 305826-75-9 CAPLUS
CN 2,7-Phenanthrenediol, 1,2,3,4,4a,9,10,10a-octahydro-4a-(phenylmethyl)-2-(2-pyridinylethynyl)-, (4aS,10aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 12 OF 19 CAPLUS COPYRIGHT 2003 ACS
AB The present invention relates to triglyceride-free pharmaceutical compns. for delivery of hydrophobic therapeutic agents. Compns. of the present invention include a hydrophobic therapeutic agent and a carrier, where the carrier is formed from a combination of a hydrophilic surfactant and a hydrophobic surfactant. Upon diln. with an aq. solvent, the compn. forms a clear, aq. dispersion of the surfactants contg. the therapeutic agent. The invention also provides methods of treatment with hydrophobic therapeutic agents using these compns. A pharmaceutical compn. contained cyclosporin 0.14, Cremophor RH-40 0.41, Arlacel186 0.29, sodium taurocholate 0.26, and propylene glycol 0.46 mg.
ACCESSION NUMBER: 2000:608551 CAPLUS
DOCUMENT NUMBER: 133:213151
TITLE: Pharmaceutical compositions and methods for improved delivery of hydrophobic therapeutic agents
INVENTOR(S): Patel, Manesh V.; Chen, Feng-Jing
PATENT ASSIGNEE(S): Lipocine, Inc., USA
SOURCE: PCT Int. Appl., 98 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000050007	A1	20000831	WO 2000-US165	20000105

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,

CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

US 6294192	B1	20010925	US 1999-258654	19990226
EP 1158959	A1	20011205	EP 2000-901394	20000105
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002537317	T2	20021105	JP 2000-600619	20000105
US 2002012680	A1	20020131	US 2001-898553	20010702
US 6451339	B2	20020917		
PRIORITY APPLN. INFO.:			US 1999-258654 A	19990226
			WO 2000-US165 W	20000105

IT Analgesics
Anthelmintics
Anti-inflammatory agents
Antianginal agents
Antiarrhythmics
Antibacterial agents
Anticoagulants
Anticonvulsants
Antidepressants
Antidiabetic agents
Antihistamines
Antihypertensives
Antimalarials
Antimigraine agents
Antiobesity agents
Antiparkinsonian agents
Antipsychotics
Antitumor agents
Antiviral agents
Anxiolytics
Cognition enhancers
Diuretics
Fungicides
Hypnotics and Sedatives
Immunosuppressants
Inotropics
Muscarinic antagonists
Muscle relaxants
Nervous system stimulants
Nutrition, animal
Protozoacides
Thyroid gland
(pharmaceutical compns. and methods for improved delivery of hydrophobic therapeutic agents)

IT 68958-64-5, Polyoxyethylene glyceryl trioleate 69756-53-2, Halofantrine 70288-86-7, Ivermectin 72432-03-2, Miglitol 72559-06-9, Rifabutine 73590-58-6, Omeprazole 73963-72-1, Cilostazol 74103-06-3, Ketorolac 74504-64-6, Polyglyceryl laurate 75706-12-6, Leflunomide 76547-98-3, Lisinopril 76824-35-6, Famotidine 76963-41-2, Nizatidine 79217-60-0, Cyclosporin 79617-96-2, Sertraline 79794-75-5, Loratadine 79902-63-9, Simvastatin 81093-37-0, Pravastatin 81098-60-4, Cisapride 81103-11-9, Clarithromycin 82626-48-0, Zolpidem 83799-24-0, Fexofenadine 83881-51-0, Cetirizine 83905-01-5, Azithromycin 84057-84-1, Lamotrigine 84371-65-3, Mifepristone 84449-90-1, Raloxifene 84625-61-6, Itraconazole 85721-33-1, Ciprofloxacin 86386-73-4, Fluconazole 86541-75-5, Benazepril 86637-84-5 88150-42-9, Amlodipine 89778-26-7, Toremifene 90357-06-5, Bicalutamide 91161-71-6, Terbinafine 93390-81-9, Fosphenytoin 93413-69-5,

Venlafaxine 93479-97-1, Glimepiride 93790-70-6, Cholylsarcosine 93790-72-8 93957-54-1, Fluvastatin 95233-18-4, Atovaquone 97240-79-4, Topiramate 97322-87-7, Troglitazone 97682-44-5, Irinotecan 98319-26-7, Finasteride 101828-21-1, Butenafine 103577-45-3, Lansoprazole 103628-46-2, Sumatriptan 104987-11-3, Tacrolimus 106133-20-4, Tamsulosin 106392-12-5, Ethylene oxide propylene oxide block copolymer 106650-56-0, Sibutramine 107753-78-6, Zafirlukast 111025-46-8, Pioglitazone 111406-87-2, Zileuton 112965-21-6, Calcipotriene 113665-84-2, Clopidogrel 115103-54-3, Tiagabine 117976-89-3, Rabeprazole **118292-40-3**, Tazarotene 120014-06-4, Donepezil 121679-13-8, Naratriptan 122320-73-4, Rosiglitazone 123948-87-8, Topotecan 127779-20-8, Saquinavir 129497-78-5, Verteporfin 131918-61-1, Paricalcitol 133040-01-4, Eprosartan 134523-00-5, Atorvastatin 135062-02-1, Repaglinide 137862-53-4, Valsartan 138402-11-6 139264-17-8, Zolmitriptan 139481-59-7, Candesartan 144034-80-0, Rizatriptan 144494-65-5, Tirofiban 144701-48-4, Telmisartan 145599-86-6, Cerivastatin 145941-26-0, Oprelvekin 147059-72-1, Trovafloxacin 150372-93-3, Polyoxyethylene glyceryl laurate 153559-49-0, Targretin 154598-52-4, Efavirenz 155213-67-5, Ritonavir 156259-68-6, Capmul mcm 158747-02-5, Frovatriptan 158966-92-8, Montelukast 159989-64-7, Nelfinavir 162011-90-7, Rofecoxib 169590-42-5, Celecoxib 171599-83-0, Sildenafil citrate

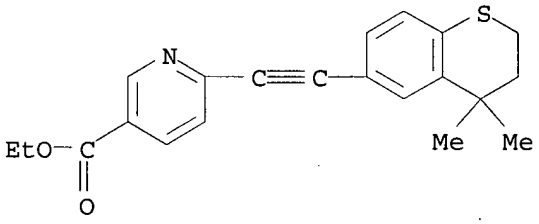
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (pharmaceutical compns. and methods for improved delivery of hydrophobic therapeutic agents)

IT **118292-40-3**, Tazarotene

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (pharmaceutical compns. and methods for improved delivery of hydrophobic therapeutic agents)

RN 118292-40-3 CAPLUS

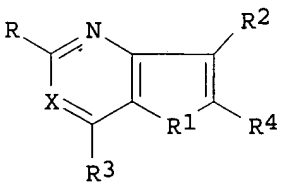
CN 3-Pyridinecarboxylic acid, 6-[(3,4-dihydro-4,4-dimethyl-2H-1-benzothiopyran-6-yl)ethynyl]-, ethyl ester (9CI) (CA INDEX NAME)



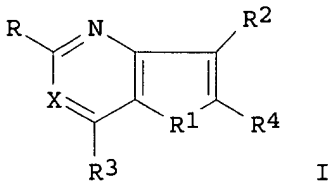
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 13 OF 19 CAPLUS COPYRIGHT 2003 ACS

GI



I



I

AB Title compds.[I; R = H, CH3, (CH3)2CH, SCH3, CH3CH2, NH2, CF3, NHCOC6H5, cyclopropyl, CH2OH, (CH3)2CH2CH2, N(CH3)2, OCH3, NHCH3, NH(CH2)4NH2; R1 = NH, S, NCH3, O; R2 = H, COCH3, C6H5, CH3, CH3CH2; R3 = NH2, CH3, NHC6H5, N(CH2CH3)2, (CH3CH2)N(CH2)3CH3, (CH3)N(CH2)2NHCH3, N(CH3)CH(CH3)CH(Ph)OH, (CH3CH2)NCH2C(CH3):CH2, NHCH2CF3, NHCH2CH2C6H5, NH(CH2)3OCH2CH3, 4-ClC6H4, 4-CH3OC6H5, 2-thienyl, 1-pyrrolidinyl, 1-piperidinyl, 4-morpholinyl, 1-piperazinyl, 3-pyridyl; R4 = C6H5, 4-CH3C6H4, 4-ClC6H4, (CH3)3C, 4-FC6H4, 3-HOC6H4, 2-pyridyl, cyclohexyl, 2-furyl, 2-FC6H4 2-thienyl, 1-adamantyl, CH3, 4-CH3OC6H4; X = N, CH; etc.], pharmaceutical acceptable salts, ester, solvate, and N-oxide are prepd. and tested as neuropeptide Y receptor antagonists in the modulation of feeding behavior, obesity, diabetes, cancer, inflammatory disorders, depression, stress related disorders, Alzheimer's disease and other disease conditions. Thus, the title compd. I (R = CH3; R1 = NH; X = N; R2 = H; R3 = N(CH2CH3)2; R4 = C6H5) was prepd.

ACCESSION NUMBER: 1999:511159 CAPLUS
DOCUMENT NUMBER: 131:157709
TITLE: Preparation of bicyclic pyridine and pyrimidine derivatives as neuropeptide Y receptor antagonists
INVENTOR(S): Norman, Mark H.; Chen, Ning; Han, Nianhe; Liu, Longbin; Hurt, Clarence R.; Fotsch, Christopher H.; Jenkins, Tracy J.; Moreno, Ofir A.
PATENT ASSIGNEE(S): Amgen Inc., USA
SOURCE: PCT Int. Appl., 469 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9940091	A1	19990812	WO 1999-US2500	19990205
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6187777	B1	20010213	US 1999-246775	19990204
CA 2319275	AA	19990812	CA 1999-2319275	19990205
EP 1054887	A1	20001129	EP 1999-906756	19990205
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
AU 747920	B2	20020530	AU 1999-26590	19990205
AU 9926590	A1	19990823		
JP 2003502272	T2	20030121	JP 2000-530520	19990205

ZA 9900967 A 19990806 ZA 1999-967 19990208
PRIORITY APPLN. INFO.: US 1998-73927P P 19980206
US 1998-73981P P 19980206
US 1998-93482P P 19980720
US 1998-93577P P 19980720
US 1999-246775 A 19990204
US 1998-83577 P 19980720
WO 1999-US2500 W 19990205
OTHER SOURCE(S): MARPAT 131:157709

IT **Anxiety**
(panic; prepn. of pyrrolopyridine and pyrrolopyrimidine derivs. as neuropeptide Y receptor antagonists)

IT Allergy
Alzheimer's disease
Anorexia
Anti-inflammatory agents
Antidepressants
Antitumor agents
Antiviral agents
Anxiety
Asthma
Diabetes insipidus
Diabetes mellitus
Diarrhea
Drug delivery systems
Epilepsy
Fever and Hyperthermia
Human immunodeficiency virus
Hypertension
Hypoglycemia
Neoplasm
Obesity
Osteoarthritis
Osteoporosis
Pain
Parkinson's disease
Psoriasis
Rheumatoid arthritis
Ulcer
(prepn. of pyrrolopyridine and pyrrolopyrimidine derivs. as neuropeptide Y receptor antagonists)

IT 50-98-6, Ephedrine hydrochloride 56-37-1, Benzyltriethylammonium chloride 62-53-3, Benzenamine, reactions 85-46-1, 1-Naphthalenesulfonyl chloride 89-93-0, 2-Methylbenzylamine 91-21-4, 1,2,3,4-Tetrahydroisoquinoline 92-54-6, 1-Phenylpiperazine 93-97-0 98-59-9, 4-Toluenesulfonyl chloride 99-94-5 100-46-9, Benzylamine, reactions 100-47-0, Benzonitrile, reactions 104-75-6, 2-Ethylhexylamine 107-16-4, Glycolonitrile 109-05-7, 2-Methylpiperidine 109-89-7, Diethylamine, reactions 109-96-6, 3-Pyrroline 110-60-1, 1,4-Butanediamine 110-70-3, N,N'-Dimethylethylenediamine 110-85-0, Piperazine, reactions 110-89-4, Piperidine, reactions 110-91-8, Morpholine, reactions 111-49-9 123-38-6, Propionaldehyde, reactions 123-75-1, Pyrrolidine, reactions 124-42-5, Acetamidine hydrochloride 124-63-0, Methanesulfonyl chloride 128-08-5, N-Bromosuccinimide 141-97-9, Ethyl acetoacetate 177-11-7, 1,4-Dioxa-8-azaspiro[4,5]decane 288-13-1, Pyrazole 288-88-0, 1H-1,2,4-Triazole 332-25-2 368-77-4 503-29-7, Azetidine 529-17-9, Tropane 536-74-3, Phenylacetylene 614-16-4, Benzoylacetonitrile

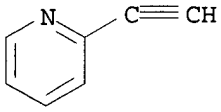
626-03-9, 2,4-Dihydroxypyridine 635-46-1, 1,2,3,4-Tetrahydroquinoline
646-19-5, 1,7-Diaminoheptane 660-88-8, 5-Aminovaleric acid 694-05-3,
1,2,3,6-Tetrahydropyridine 753-90-2, 2,2,2-Trifluoroethylamine
765-38-8, 2-Methylpyrrolidine 766-17-6, cis-2,6-Dimethylpiperidine
766-98-3, 1-Ethynyl-4-fluorobenzene 937-14-4, 3-Chloroperbenzoic acid
1194-22-5, 2-Methyl-4,6-dihydroxypyrimidine 1484-80-6, 2-Ethylpiperidine
1484-84-0, 2-Piperidine ethanol 1679-18-1, 4-Chloro-phenylboronic acid
1683-49-4, 4-[3-(Trifluoromethyl)phenyl]-4-piperidinol hydrochloride
1692-25-7 1758-46-9, 2-Phenoxyethylamine **1945-84-2**,
2-Ethynylpyridine 2038-03-1, 4-(2-Aminoethyl)morpholine 2094-72-6,
1-Adamantane carbonyl chloride 2508-29-4, 5-Amino-1-pentanol
2719-27-9, Cyclohexylcarbonyl chloride 3433-37-2, 2-Hydroxymethyl
piperidine 3433-56-5 3672-47-7, 4-Methoxybenzoyl acetonitrile
4316-93-2, 4,6-Dichloro-5-nitropyrimidine 4606-65-9,
3-Piperidinemethanol 4753-75-7, N-Methylfurfurylamine 4795-29-3,
Tetrahydrofurfurylamine 5382-16-1, 4-Hydroxypiperidine 5408-04-8
5500-21-0, Cyclopropylcyanide 5720-07-0, 4-Methoxyphenylboronic acid
6165-68-0, Thiophene-2-boronic acid 6165-69-1, Thiophene-3-boronic acid
6291-85-6, 3-Ethoxypropylamine 6574-99-8 7533-40-6, (S)-Leucinol
10025-87-3, Phosphorus oxychloride 13061-96-6 13433-00-6 13889-98-0,
1-Acetylpiperazine 14003-16-8 14235-81-5 14401-51-5,
4-Chlorobenzamidine hydrochloride 16182-04-0, Ethyl
isothiocyanatoformate 17997-47-6, 2-Pyridinyltributylstannane
18328-90-0, N-Ethyl-2-methylallylamine 21667-62-9, 3-Chlorobenzoyl
acetonitrile 22007-68-7 23003-30-7, 6-Iodo-2-picolin-5-ol
23356-96-9, (S)-2-Pyrrolidinemethanol 35794-11-7, 3,5-Dimethylpiperidine
40172-95-0, 1-(2-Furoyl)piperazine 40499-83-0, 3-Pyrrolidinol
42353-58-2 42872-38-8 50533-97-6, 4-Dimethylaminopiperidine
54060-30-9 59463-56-8 59480-92-1, 2,5-Dimethyl-3-pyrroline
60717-51-3 67174-30-5 72972-04-4 73183-34-3 88243-77-0
91076-93-6 100063-22-7, Methyl 3-amino-5-phenylthiophene 2-carboxylate
107819-90-9 118336-86-0 121359-48-6, 2-Tributylstannylthiazole
175137-03-8, Methyl 3-amino-5-tert-butylthiophene 2-carboxylate
179056-98-5 179113-90-7, 3-(Trifluoromethoxy)phenylboronic acid
191939-45-4 192323-01-6 205984-77-6 210356-63-1 **236102-16-2**
, 3-Amino-4-chloro-2-[2-(3-hydroxyphenyl)ethynyl]pyridine 237436-02-1
237436-03-2 237436-13-4 237436-14-5 237436-15-6 237436-16-7
237436-17-8 237436-18-9 237436-19-0 237436-20-3 237436-21-4
237436-22-5 237436-23-6 237436-24-7 237436-25-8 237436-26-9
237436-27-0 237436-28-1 237436-29-2 237436-30-5 237436-31-6
237436-32-7 237436-33-8 237436-34-9 237436-35-0 237436-36-1
237436-37-2 237436-38-3 237436-39-4 237436-40-7 237436-41-8
237436-42-9 237436-43-0 237436-44-1 237436-45-2 237436-46-3
237436-47-4 237436-48-5 237436-49-6 237436-50-9 237436-51-0
237436-52-1 237436-53-2 237436-54-3 237436-55-4 237436-56-5
237436-57-6 237436-58-7 237436-72-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of pyrrolopyridine and pyrrolopyrimidine derivs. as
neuropeptide Y receptor antagonists)

IT 329-78-2P 354-37-0P 450-95-3P 3755-96-2P 5431-93-6P 5975-12-2P,
2,4-Dichloro-3-nitropyridine 6760-99-2P 13162-43-1P 34771-39-6P
40115-54-6P 41040-24-8P 51245-61-5P 52617-71-7P 53925-27-2P
65774-68-7P 78583-86-5P 82722-95-0P 83060-72-4P 89282-12-2P,
2,4-Dihydroxy-3-nitropyridine 95980-17-9P 141091-37-4P 147937-31-3P
156004-71-6P 173772-63-9P 237435-08-4P 237435-09-5P 237435-10-8P
237435-11-9P 237435-12-0P 237435-13-1P 237435-14-2P 237435-15-3P
237435-16-4P **237435-17-5P 237435-18-6P** 237435-19-7P
237435-20-0P 237435-21-1P 237435-22-2P

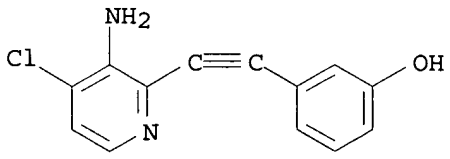
237435-23-3P 237435-24-4P 237435-25-5P 237435-26-6P, 2-Cyano-1-phenylvinyl 4-methylbenzenesulfonate 237435-27-7P, Ethyl 3-amino-5-phenylpyrrole-2-carboxylate 237435-28-8P 237435-29-9P
237435-30-2P 237435-31-3P 237435-32-4P 237435-33-5P 237435-34-6P
237435-35-7P 237435-36-8P 237435-37-9P 237435-38-0P 237435-39-1P
237435-40-4P 237435-41-5P 237435-42-6P 237435-43-7P 237435-44-8P
237435-45-9P 237435-46-0P 237435-47-1P 237435-48-2P 237435-49-3P
237435-50-6P 237435-51-7P 237435-52-8P 237435-53-9P 237435-54-0P
237435-55-1P 237435-56-2P 237435-57-3P 237435-58-4P 237435-59-5P
237435-60-8P 237435-61-9P 237435-62-0P 237435-63-1P 237435-64-2P
237435-65-3P 237435-66-4P 237435-67-5P 237435-68-6P 237435-69-7P
237435-70-0P 237435-71-1P 237435-72-2P 237435-73-3P 237435-74-4P
237435-75-5P 237435-76-6P 237435-77-7P 237435-78-8P 237435-79-9P
237435-80-2P 237435-82-4P 237435-83-5P 237435-84-6P 237435-85-7P
237435-86-8P 237435-87-9P 237435-88-0P 237435-89-1P 237435-90-4P
237435-91-5P 237435-92-6P 237435-93-7P 237435-94-8P 237435-95-9P
237435-96-0P 237435-97-1P 237435-98-2P 237435-99-3P 237436-00-9P
237436-01-0P 237436-05-4P 237436-60-1P 237436-61-2P 237436-62-3P
237436-63-4P 237436-64-5P 237436-65-6P 237436-66-7P 237436-67-8P
237436-68-9P 237436-69-0P 237436-70-3P 237436-71-4P 237436-75-8P
237436-83-8P 237737-60-9P 237737-61-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of pyrrolopyridine and pyrrolopyrimidine derivs. as neuropeptide Y receptor antagonists)

IT **1945-84-2**, 2-Ethynylpyridine **236102-16-2**, 3-Amino-4-chloro-2-[2-(3-hydroxyphenyl)ethynyl]pyridine
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of pyrrolopyridine and pyrrolopyrimidine derivs. as neuropeptide Y receptor antagonists)

RN 1945-84-2 CAPLUS
CN Pyridine, 2-ethynyl- (6CI, 7CI, 8CI, 9CI) (CA INDEX NAME)



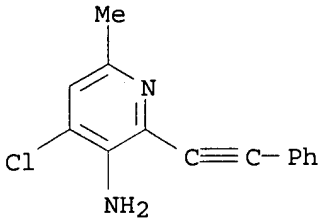
RN 236102-16-2 CAPLUS
CN Phenol, 3-[(3-amino-4-chloro-2-pyridinyl)ethynyl]- (9CI) (CA INDEX NAME)



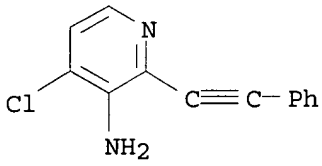
IT **237435-17-5P 237435-18-6P 237435-20-0P 237435-21-1P 237435-22-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of pyrrolopyridine and pyrrolopyrimidine derivs. as neuropeptide Y receptor antagonists)

RN 237435-17-5 CAPLUS

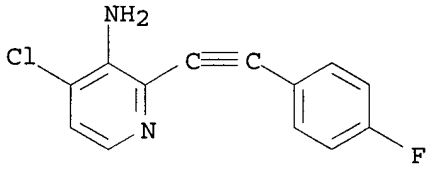
CN 3-Pyridinamine, 4-chloro-6-methyl-2-(phenylethynyl)- (9CI) (CA INDEX NAME)



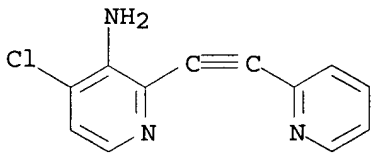
RN 237435-18-6 CAPLUS
CN 3-Pyridinamine, 4-chloro-2-(phenylethynyl)- (9CI) (CA INDEX NAME)



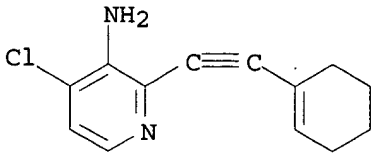
RN 237435-20-0 CAPLUS
CN 3-Pyridinamine, 4-chloro-2-[(4-fluorophenyl)ethynyl]- (9CI) (CA INDEX NAME)



RN 237435-21-1 CAPLUS
CN 3-Pyridinamine, 4-chloro-2-(2-pyridinylethynyl)- (9CI) (CA INDEX NAME)

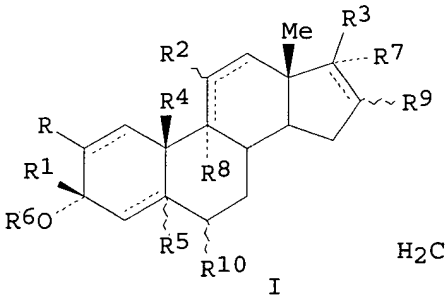


RN 237435-22-2 CAPLUS
CN 3-Pyridinamine, 4-chloro-2-(1-cyclohexen-1-ylethynyl)- (9CI) (CA INDEX NAME)

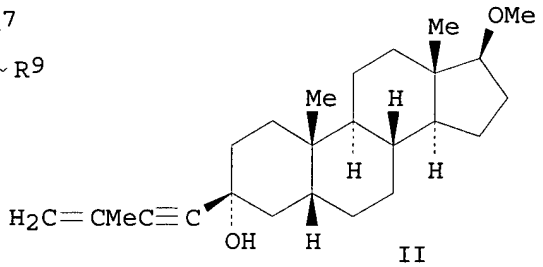


REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 14 OF 19 CAPLUS COPYRIGHT 2003 ACS
GI



I



II

AB Neuroactive steroids of formula I [R = H, NH2, thio, sulfinyl, sulfonyl, halo, alkoxy, alkyl, alkenyl, alkynyl, etc.; R1 = H, alkyl, alkenyl, alkynyl, haloalkyl, aryl, etc.; R2 = H, alkoxy, keto, Me2N; R3 = alkoxy, alkenyloxy, alkynyloxy; R4 = H, Me; R5 = H, absent; R6 = H, alkanoyl, etc.; R7 = H, halo, OH, alkoxy, etc.; R8 = H, halo; R9 = H, halo, alkyl, alkoxy, arylalkoxy, amino; R10 = H, halo, alkyl, OH, alkoxy, CN, etc.] are prepd. These derivs. are capable of acting at a recently identified site on the GABA receptor complex (GRC), thereby modulating brain excitability in a manner that will alleviate stress, **anxiety**, insomnia, mood disorders that are amenable to GRC-active agents (such as depression) and seizure activity. Thus, 2-methyl-1-buten-3-yne was added to 17.beta.-methoxy-5.beta.-androstan-3-one to give II. II protected 87.5% of mice injected with metrazol from convulsions.

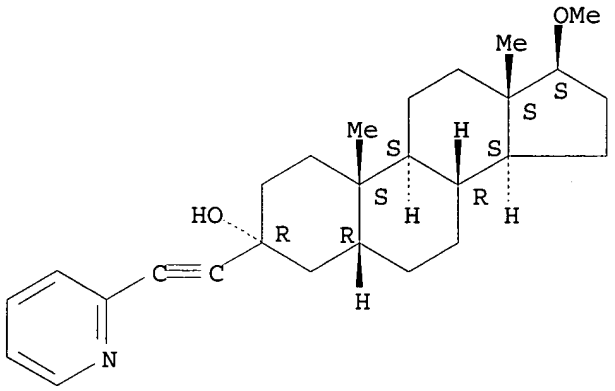
ACCESSION NUMBER: 1999:450892 CAPLUS
DOCUMENT NUMBER: 131:102428
TITLE: Preparation of neuroactive steroids of the androstane and pregnane series
INVENTOR(S): Upasani, Ravindra B.; Fick, David B.; Hogenkamp, Derk J.; Lan, Nancy C.
PATENT ASSIGNEE(S): Cocensys, Inc., USA
SOURCE: U.S., 28 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5925630	A	19990720	US 1996-659192	19960606
CA 2223996	AA	19961219	CA 1996-2223996	19960606

CN 1190404 A 19980812 CN 1996-195360 19960606
PRIORITY APPLN. INFO.: US 1995-467404 A2 19950606
OTHER SOURCE(S): MARPAT 131:102428
AB . . . recently identified site on the GABA receptor complex (GRC), thereby modulating brain excitability in a manner that will alleviate stress, **anxiety**, insomnia, mood disorders that are amenable to GRC-active agents (such as depression) and seizure activity. Thus, 2-methyl-1-buten-3-yne was added to. . .
ST . . . prepn; GABAA receptor activity neuroactive steroid prepn; antidepressant neuroactive steroid prepn; insomnia neuroactive steroid prepn; seizure prevention neuroactive steroid prepn; **anxiolytic** neuroactive steroid prepn; anesthetic neuroactive steroid prepn
IT Anesthetics
Anticonvulsants
Antidepressants
Anxiolytics
Hypnotics and Sedatives
(prepn. of neuroactive steroids of androstane and pregnane series)
IT 80-92-2P 516-54-1P, 3.alpha.-Hydroxy-5.alpha.-pregnan-20-one 566-58-5P
567-02-2P, 3.alpha.,21-Dihydroxy-5.alpha.-pregnan-20-one 567-03-3P
7657-50-3P, 3.alpha.-Hydroxy-5.alpha.-androstane 15360-53-9P,
3.alpha.-Hydroxy-5.beta.-androstane 38398-32-2P 148256-43-3P
148346-33-2P 162883-05-8P 162883-73-0P 171494-51-2P 186263-91-2P
186263-92-3P 186263-93-4P 186263-95-6P 186263-97-8P 186263-98-9P
186263-99-0P 186264-00-6P 186264-01-7P 186264-02-8P 186264-06-2P
186264-07-3P 186264-08-4P 186264-10-8P 186264-14-2P 186264-15-3P
186264-17-5P 186264-18-6P 186264-19-7P 186264-20-0P 186264-22-2P
186264-24-4P 186264-27-7P 186264-31-3P 186264-33-5P 186264-34-6P
186264-35-7P 186264-36-8P 186264-37-9P 186264-38-0P 186264-41-5P
186264-42-6P 186264-43-7P 186264-44-8P 186264-45-9P 186264-46-0P
186264-48-2P 186264-49-3P 186264-50-6P 186264-52-8P 186264-53-9P
186264-54-0P 186264-56-2P 186264-59-5P 186264-60-8P 186264-61-9P
186264-63-1P 186264-64-2P 186264-65-3P 186264-66-4P 186264-67-5P
186264-69-7P 186264-70-0P 186264-77-7P 186264-79-9P 186264-80-2P
186264-81-3P 186264-82-4P 186264-83-5P 186264-84-6P 186264-85-7P
186264-86-8P 186264-87-9P 186264-89-1P 186264-90-4P 186264-91-5P
186264-92-6P 186264-93-7P 186264-94-8P 186264-95-9P 186264-96-0P
186264-97-1P 186264-98-2P 186264-99-3P 186265-01-0P 186265-02-1P
186265-04-3P 186265-06-5P 186265-08-7P 186265-10-1P 186265-12-3P
186265-14-5P 186265-16-7P 186265-18-9P 186265-20-3P 186265-21-4P
186265-23-6P 186265-25-8P 186265-27-0P 186265-28-1P 186265-29-2P
186265-30-5P 186265-31-6P 186265-32-7P 186265-33-8P 186265-34-9P
186265-35-0P 186265-36-1P 186265-37-2P 186265-38-3P 186265-39-4P
186265-40-7P 186265-41-8P 186265-42-9P 186265-43-0P 186265-44-1P
186265-45-2P **186265-46-3P** 186265-47-4P 186265-48-5P
186265-49-6P 186265-50-9P 186265-51-0P 186265-52-1P 186265-53-2P
186265-54-3P 186265-55-4P 186265-56-5P 186265-57-6P 186265-58-7P
186265-59-8P 186265-60-1P 186265-61-2P 186265-62-3P 186265-63-4P
186265-64-5P 186265-65-6P 186265-66-7P 186265-68-9P 186265-70-3P
186265-74-7P 186265-75-8P 186267-28-7P 186267-29-8P 203719-57-7P
230958-70-0P 230958-75-5P 230958-96-0P 230958-98-2P 230959-06-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of neuroactive steroids of androstane and pregnane series)
IT **186265-46-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

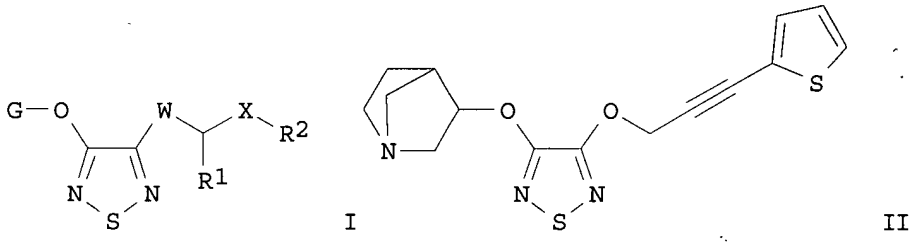
BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of neuroactive steroids of androstane and pregnane series)
RN 186265-46-3 CAPLUS
CN Androstan-3-ol, 17-methoxy-3-(2-pyridinylethynyl)-,
(3.alpha.,5.beta.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 81 THERE ARE 81 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 15 OF 19 CAPLUS COPYRIGHT 2003 ACS
GI



AB The invention provides title compds. I [W = S, O; R1 = H, alkyl; X = alkynyl; R2 = (un)substituted aryl or 5- or 6-membered heterocyclyl; G = selected azacyclic and azabicyclic systems] and their analogs and pharmaceutically acceptable salts. The compds. are useful for modulating muscarinic receptors (no data). For instance, Pd/Cu-catalyzed coupling of 2-iodothiophene with propargyl alc. gave 73% 3-(2-thienyl)-2-propyn-1-ol, which was etherified with endo-3-[[3-(butylsulfonyl)-1,2,5-thiadiazol-4-yl]oxy]-1-azabicyclo[2.2.1]heptane using NaH in THF, to give 70% title compd. endo-II, isolated as the oxalate.
ACCESSION NUMBER: 1997:717914 CAPLUS
DOCUMENT NUMBER: 128:13267
TITLE: Heterocyclic compounds, namely (1,2,5-thiadiazol-4-yloxy)aza(bi)cycloalkanes and analogs, useful as muscarinic receptor modulators

INVENTOR(S): Merritt, Leander; Jeppson, Lone; Ward, John S.
PATENT ASSIGNEE(S): Eli Lilly and Co., USA; Merritt, Leander; Jeppson, Lone; Ward, John S.
SOURCE: PCT Int. Appl., 61 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9740042	A1	19971030	WO 1997-US6679	19970423
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2251974	AA	19971030	CA 1997-2251974	19970423
AU 9726794	A1	19971112	AU 1997-26794	19970423
EP 900217	A1	19990310	EP 1997-918771	19970423
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE, PT, IE, FI				
JP 2000509044	T2	20000718	JP 1997-538263	19970423
US 6069159	A	20000530	US 1999-171793	19990510

PRIORITY APPLN. INFO.: US 1996-16009P P 19960423
WO 1997-US6679 W 19970423

OTHER SOURCE(S): MARPAT 128:13267

IT Analgesics
Anti-Alzheimer's agents
Anticonvulsants
Antidepressants
Antiglaucoma agents
Antipsychotics
Anxiolytics
Muscarinic agonists
Muscarinic antagonists
(prepn. of (thiadiazolyloxy)azacycloalkanes and analogs as muscarinic receptor modulators)

IT 197238-54-3P 197238-57-6P 197238-59-8P 197238-61-2P 197238-63-4P
197238-65-6P 197238-67-8P 197238-69-0P 197238-71-4P 197238-73-6P
197238-75-8P 197238-77-0P 197238-79-2P 197238-81-6P 197238-83-8P
197238-85-0P 197238-87-2P 197238-90-7P 197238-92-9P
197238-95-2P 197238-97-4P 197238-99-6P 197239-01-3P
197239-03-5P 197239-04-6P 197239-05-7P 197239-06-8P 197239-07-9P
197239-08-0P 197239-09-1P 197239-10-4P 197239-11-5P 197239-12-6P
197239-13-7P 197239-15-9P 197239-17-1P 197239-18-2P 197239-19-3P
197239-20-6P 197239-22-8P 197239-24-0P 197239-26-2P 197239-28-4P
198980-16-4P 198980-17-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of (thiadiazolyloxy)azacycloalkanes and analogs as muscarinic receptor modulators)

IT 75-89-8, 2,2,2-Trifluoroethanol 109-86-4, 2-Methoxyethanol 375-01-9, 2,2,3,3,4,4,4-Heptafluorobutan-1-ol 406-81-5, 4,4,4-Trifluorobutyl

bromide 459-56-3, 4-Fluorobenzyl alcohol 460-37-7, 3,3,3-Trifluoropropyl iodide 461-18-7, 4,4,4-Trifluorobutanol 556-82-1, 3-Methyl-2-buten-1-ol 762-49-2, 1-Bromo-2-fluoroethane 764-01-2, 2-Butyn-1-ol 765-42-4, .alpha.-Methylcyclopropanemethanol 1504-58-1, 3-Phenyl-2-propyn-1-ol 1736-74-9, 4-(Trifluoromethoxy)benzyl alcohol 2417-90-5, 3-Bromopropionitrile 2566-44-1, Cyclopropaneethanol 3437-95-4, 2-Iodothiophene 4415-82-1, Cyclobutylmethanol 5271-38-5, 2-Hydroxyethyl methyl sulfide 6117-91-5, Crotyl alcohol 17201-43-3, 4-Cyanobenzyl bromide 21473-18-7, endo-3-Hydroxy-1-azabicyclo[2.2.1]heptane 23915-07-3, 2,4-Difluorobenzyl bromide 27913-19-5, 3-(3-Methoxyphenyl)-2-propyn-1-ol **29768-03-4** 37614-57-6, 3-(4-Chlorophenyl)-2-propyn-1-ol 54356-08-0, 3-(3-Furyl)-2-propyn-1-ol 61266-33-9 65126-85-4, 3-[3-(Trifluoromethyl)phenyl]-2-propyn-1-ol 80151-28-6, 3-(4-Fluorophenyl)-2-propyn-1-ol 152236-15-2, 1-(3-Methoxyphenyl)-1-pentyn-3-ol 178367-92-5, 3-Chloro-4-(propylthio)-1,2,5-thiadiazole 197239-16-0 197239-49-9, 2-Fluoro-4-(trifluoromethyl)benzyl alcohol 197239-50-2, 4-(3-Methoxyphenyl)-3-butyn-2-ol 197239-51-3, (Z)-5-(4-Fluorophenyl)-3-methyl-2-penten-4-yn-1-ol 197239-52-4, (E)-5-(4-Fluorophenyl)-3-methyl-2-penten-4-yn-1-ol 197239-53-5, 5-(4-Fluorophenyl)-2-penten-4-yn-1-ol 197239-54-6, 3-(3-Fluorophenyl)-2-propyn-1-ol 197239-55-7, 1-(3-Methoxyphenyl)-4-methyl-1-pentyn-3-ol
RL: RCT (Reactant); RACT (Reactant or reagent)
(starting material; prepn. of (thiadiazolyloxy)azacycloalkanes and analogs as muscarinic receptor modulators)

IT **197238-95-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of (thiadiazolyloxy)azacycloalkanes and analogs as muscarinic receptor modulators)

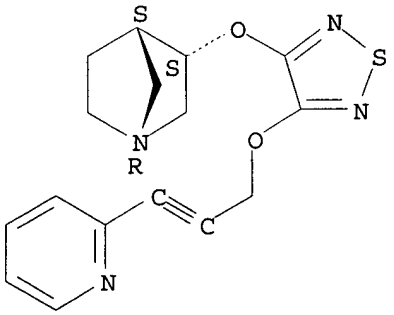
RN 197238-95-2 CAPLUS

CN 1-Azabicyclo[2.2.1]heptane, 3-[[4-[[3-(2-pyridinyl)-2-propynyl]oxy]-1,2,5-thiadiazol-3-yl]oxy]-, endo-, ethanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

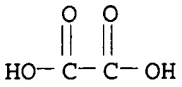
CRN 197238-94-1
CMF C16 H16 N4 O2 S

Relative stereochemistry.

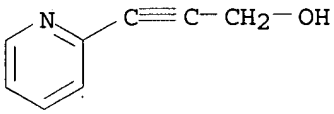


CM 2

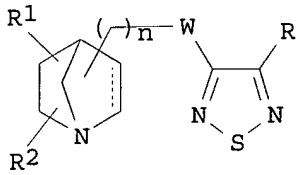
CRN 144-62-7
CMF C2 H2 O4



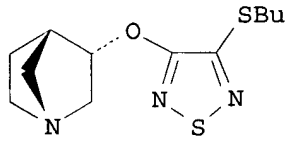
IT **29768-03-4**
RL: RCT (Reactant); RACT (Reactant or reagent)
(starting material; prepn. of (thiadiazolyloxy)azacycloalkanes and analogs as muscarinic receptor modulators)
RN 29768-03-4 CAPLUS
CN 2-Propyn-1-ol, 3-(2-pyridinyl)- (9CI) (CA INDEX NAME)



L12 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2003 ACS
GI



I



II

AB The invention relates to therapeutically active azabicyclic compds. I [W = O or S; R = H, halo, (un)substituted NH2, OH, SH, Ph, cycloalkyl, various other org. groups; R1, R2 = H, OH, oxo, (un)substituted alkyl, alkenyl, alkynyl, alkoxy; n = 0, 1, 2] and their salts, solvates, and quaternized forms, a method of prepg. them, and pharmaceutical or veterinary compns. contg. them. The compds. are useful for treating CNS diseases caused by malfunctioning of the muscarinic cholinergic system. Approx. 50 I salts were prepd., and the corresponding free bases are claimed. For instance, etherification of endo-3-hydroxy-1-azabicyclo[2.2.1]heptane with 3-chloro-4-(butylthio)-1,2,5-thiadiazole in THF in the presence of KOBu-tert gave title compd. II, isolated as the oxalate salt in 32% yield. II oxalate inhibited the specific binding of [3H]-oxotremorine-M and [3H]-pirenzepine to corresponding rat cortical receptors in vitro with IC50 values of 1.6 nM and 0.14 nM, resp.

ACCESSION NUMBER: 1997:640670 CAPLUS
DOCUMENT NUMBER: 127:293231
TITLE: Heterocyclic compounds [3-(1,2,5-thiadiazol-4-yloxy)-1-azabicyclo[2.2.1]heptane derivatives] and their preparation and use as muscarinic cholinergic agonists
INVENTOR(S): Jeppesen, Lone; Sauerberg, Per
PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.; Jeppesen, Lone; Sauerberg, Per

SOURCE: PCT Int. Appl., 49 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9734899	A1	19970925	WO 1997-DK120	19970319
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2248153	AA	19970925	CA 1997-2248153	19970319
AU 9721524	A1	19971010	AU 1997-21524	19970319
EP 888355	A1	19990107	EP 1997-914172	19970319
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2000506871	T2	20000606	JP 1997-533062	19970319
US 2002115697	A1	20020822	US 2001-940963	20010828

PRIORITY APPLN. INFO.:
DK 1996-322 A 19960319
WO 1997-DK120 W 19970319
US 1998-148637 B1 19980904
US 2000-613656 B1 20000711

OTHER SOURCE(S): MARPAT 127:293231

IT Analgesics
Anti-Alzheimer's agents
Anti-ischemic agents
Anticonvulsants
Antidepressants
Antiglaucoma agents
Antipsychotics
Anxiolytics
Cognition enhancers
Muscarinic agonists
(prepn. of (thiadiazolyloxy)azabicycloheptanes as muscarinic agonists)

IT 197238-47-4P 197238-48-5P 197238-49-6P 197238-50-9P 197238-51-0P
197238-52-1P 197238-53-2P 197238-54-3P 197238-56-5P 197238-57-6P
197238-58-7P 197238-59-8P 197238-60-1P 197238-61-2P 197238-62-3P
197238-63-4P 197238-64-5P 197238-65-6P 197238-66-7P 197238-67-8P
197238-68-9P 197238-69-0P 197238-70-3P 197238-71-4P 197238-72-5P
197238-73-6P 197238-74-7P 197238-75-8P 197238-76-9P 197238-77-0P
197238-78-1P 197238-79-2P 197238-80-5P 197238-81-6P 197238-82-7P
197238-83-8P 197238-84-9P 197238-85-0P 197238-86-1P 197238-87-2P
197238-88-3P 197238-89-4P 197238-90-7P 197238-91-8P 197238-92-9P
197238-93-0P **197238-94-1P** **197238-95-2P** 197238-96-3P
197238-97-4P 197238-98-5P 197238-99-6P 197239-00-2P 197239-01-3P
197239-02-4P 197239-03-5P 197239-04-6P 197239-05-7P 197239-06-8P
197239-07-9P 197239-08-0P 197239-09-1P 197239-10-4P 197239-11-5P
197239-13-7P 197239-15-9P 197239-16-0P 197239-17-1P 197239-18-2P
197239-19-3P 197239-20-6P 197239-21-7P 197239-22-8P 197239-23-9P
197239-24-0P 197239-25-1P 197239-26-2P 197239-27-3P 197239-28-4P
197239-29-5P 197239-30-8P 197239-31-9P 197239-32-0P 197239-33-1P

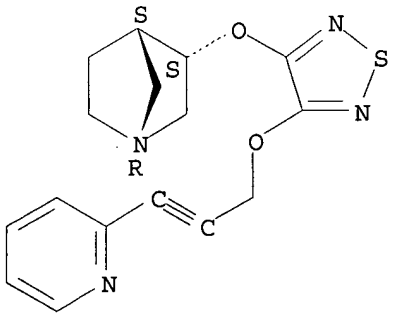
197239-34-2P 197239-35-3P 197239-36-4P 197239-37-5P 197239-38-6P
197239-39-7P 197239-40-0P **197239-41-1P 197239-42-2P**
197239-43-3P 197239-44-4P 197239-45-5P 197239-46-6P 197239-47-7P
197239-48-8P 197239-60-4P 197239-63-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of (thiadiazolyloxy)azabicycloheptanes as muscarinic agonists)

IT 75-89-8, 2,2,2-Trifluoroethanol 107-19-7, Propargyl alcohol 109-86-4, 2-Methoxyethanol 375-01-9, 2,2,3,3,4,4,4-Heptafluorobutan-1-ol 406-81-5, 4,4,4-Trifluorobutyl bromide 459-56-3, 4-Fluorobenzyl alcohol 460-37-7, 3,3,3-Trifluoropropyl iodide 461-18-7, 4,4,4-Trifluorobutanol 556-82-1, 3-Methyl-2-buten-1-ol 762-49-2, 1-Bromo-2-fluoroethane 764-01-2, 2-Butyn-1-ol 765-42-4, .alpha.-Methylcyclopropanemethanol 872-31-1, 3-Bromothiophene 1504-58-1, 3-Phenyl-2-propyn-1-ol 1736-74-9, 4-(Trifluoromethoxy)benzyl alcohol 2417-90-5, 3-Bromopropionitrile 2566-44-1, 2-Cyclopropylethanol 3437-95-4, 2-Iodothiophene 4415-82-1, Cyclobutylmethanol 5271-38-5, 2-Hydroxyethyl methyl sulfide 6117-91-5, Crotyl alcohol 17201-43-3, 4-Cyanobenzyl bromide 21473-18-7 23915-07-3, 2,4-Difluorobenzyl bromide 27913-19-5 **29768-03-4 29979-29-1**
37614-57-6 54356-08-0 61266-33-9 65126-85-4 80151-28-6
80151-33-3 121356-98-7 152236-15-2 178367-57-2, 3-Chloro-4-(butylthio)-1,2,5-thiadiazole 178367-92-5, 3-Chloro-4-(propylthio)-1,2,5-thiadiazole 197239-49-9 197239-50-2 197239-51-3 197239-52-4
197239-53-5 197239-54-6 197239-55-7 197239-56-8 197239-57-9
197239-58-0 197239-59-1 197239-61-5 197239-62-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(starting material; prepn. of (thiadiazolyloxy)azabicycloheptanes as muscarinic agonists)

IT **197238-94-1P 197238-95-2P 197239-41-1P**
197239-42-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of (thiadiazolyloxy)azabicycloheptanes as muscarinic agonists)

RN 197238-94-1 CAPLUS
CN 1-Azabicyclo[2.2.1]heptane, 3-[[4-[[3-(2-pyridinyl)-2-propynyl]oxy]-1,2,5-thiadiazol-3-yl]oxy]-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

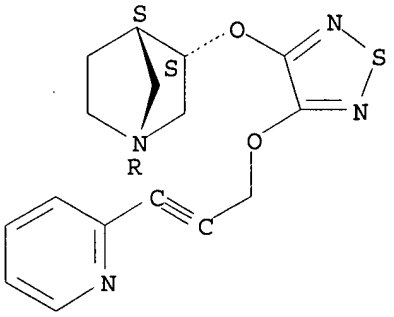


RN 197238-95-2 CAPLUS
CN 1-Azabicyclo[2.2.1]heptane, 3-[[4-[[3-(2-pyridinyl)-2-propynyl]oxy]-1,2,5-thiadiazol-3-yl]oxy]-, endo-, ethanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

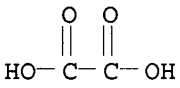
CRN 197238-94-1
CMF C16 H16 N4 O2 S

Relative stereochemistry.



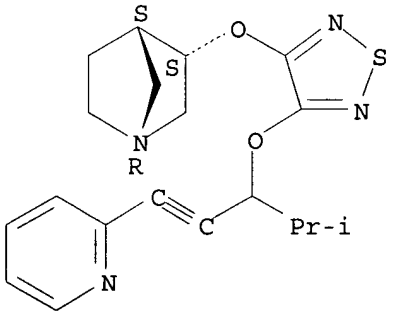
CM 2

CRN 144-62-7
CMF C2 H2 O4



RN 197239-41-1 CAPLUS
CN 1-Azabicyclo[2.2.1]heptane, 3-[[4-[[1-(1-methylethyl)-3-(2-pyridinyl)-2-propynyl]oxy]-1,2,5-thiadiazol-3-yl]oxy]-, (1.alpha.,3.beta.,4.alpha.)-[partial]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

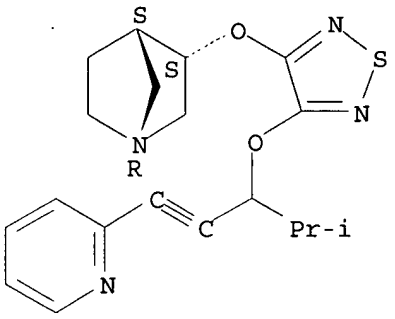


RN 197239-42-2 CAPLUS
CN 1-Azabicyclo[2.2.1]heptane, 3-[[4-[[1-(1-methylethyl)-3-(2-pyridinyl)-2-propynyl]oxy]-1,2,5-thiadiazol-3-yl]oxy]-, (1.alpha.,3.beta.,4.alpha.)-[partial]-, (2R,3R)-2,3-dihydroxybutanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 197239-41-1
CMF C19 H22 N4 O2 S

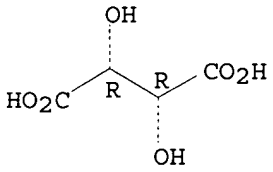
Relative stereochemistry.



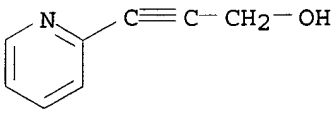
CM 2

CRN 87-69-4
CMF C4 H6 O6

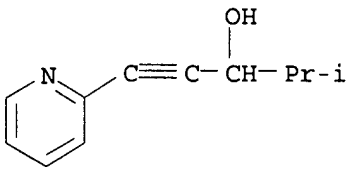
Absolute stereochemistry.



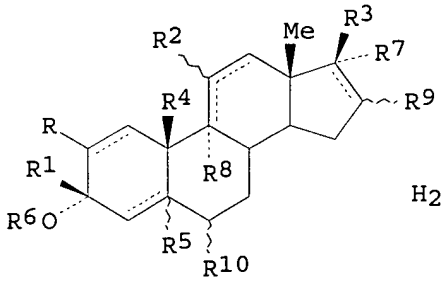
IT **29768-03-4 29979-29-1**
RL: RCT (Reactant); RACT (Reactant or reagent)
(starting material; prepn. of (thiadiazolyloxy)azabicycloheptanes as muscarinic agonists)
RN 29768-03-4 CAPLUS
CN 2-Propyn-1-ol, 3-(2-pyridinyl)- (9CI) (CA INDEX NAME)



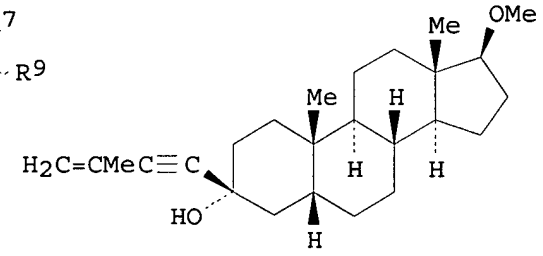
RN 29979-29-1 CAPLUS
CN 1-Pentyn-3-ol, 4-methyl-1-(2-pyridinyl)- (9CI) (CA INDEX NAME)



L12 ANSWER 17 OF 19 CAPLUS COPYRIGHT 2003 ACS
GI



I



II

AB Compds. of formula I [R = H, NH2, thio, sulfinyl, sulfonyl, halogen, alkoxy, alkyl, etc.; R1 = H, alkyl, alkenyl, alkynyl, aryl, etc.; R2 = H, OH, alkoxy, alkanoyloxy, carbalkoxy, keto, amino; R3 = H, alkoxy, alkenyloxy, etc.; R4 = H, alkyl; R5 = H, absent; R6 = H, alkanoyl, aminocarbonyl, alkoxycarbonyl; R7 = H, halogen, OH, alkoxy, alkanoyloxy, carbalkoxy; R8 = H, halogen; R9 = H, halogen, alkyl, alkoxy, arylalkoxy, amino; R10 = H, halogen, OH, alkyl, etc.] are prepd. as neuroactive prodrugs, due to their ability to modulate the GABAA receptor-chloride ionophore complex. These derivs. are capable of acting at a recently identified site on the GRC, thereby modulating brain excitability in a manner that will alleviate stress, **anxiety**, insomnia, mood disorders that are amenable to GRC-active agents (such as depression) and seizure activity. Thus, 2-methyl-1-buten-3-yne was added to 17.beta.-methoxy-5.beta.-androstan-3-one to give II. II (10 mg/kg IP) protected 87.5% of mice injected with metrazol from convulsions.

ACCESSION NUMBER: 1997:113460 CAPLUS
DOCUMENT NUMBER: 126:131695
TITLE: Preparation of neuroactive steroids of the androstane and pregnane series
INVENTOR(S): Upasani, Ravindra B.; Fick, David B.; Hogenkamp, Derk J.; Lan, Nancy C.
PATENT ASSIGNEE(S): Cocensys, Inc., USA
SOURCE: PCT Int. Appl., 94 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

WO 9640043	A2	19961219	WO 1996-US10115	19960606
WO 9640043	A3	19970327		
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN				
CA 2223996	AA	19961219	CA 1996-2223996	19960606
AU 9661725	A1	19961230	AU 1996-61725	19960606
AU 725214	B2	20001005		
EP 837874	A2	19980429	EP 1996-919372	19960606
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI				
CN 1190404	A	19980812	CN 1996-195360	19960606
BR 9608592	A	19990629	BR 1996-8592	19960606
JP 11507643	T2	19990706	JP 1996-502210	19960606
NO 9705608	A	19980206	NO 1997-5608	19971204
FI 9704448	A	19971205	FI 1997-4448	19971205

PRIORITY APPLN. INFO.: US 1995-467404 A 19950606
WO 1996-US10115 W 19960606

OTHER SOURCE(S): MARPAT 126:131695

AB . . . acting at a recently identified site on the GRC, thereby modulating brain excitability in a manner that will alleviate stress, **anxiety**, insomnia, mood disorders that are amenable to GRC-active agents (such as depression) and seizure activity. Thus, 2-methyl-1-buten-3-yne was added to. . .

ST androstane hydroxy deriv prepn neuroactivity; neuroactive prodrug sterol deriv prepn; pregnane hydroxy deriv prepn neuroactivity; anticonvulsant sterol deriv prepn; **anxiolytic** sterol deriv prepn; anesthetic sterol deriv prepn; GABAA receptor activity sterol deriv prepn; hypnotic sterol deriv prepn; seizure prevention sterol. . .

IT Anesthetics
Anticonvulsants
Antidepressants
Anxiolytics
Hypnotics and Sedatives
(prepn. of neuroactive androstanes and pregnanes)

IT 516-54-1P, 3.alpha.-Hydroxy-5.alpha.-pregnan-20-one 567-02-2P
7657-50-3P 15360-53-9P 171494-51-2P 186263-91-2P 186263-92-3P
186263-93-4P 186263-94-5P 186263-95-6P 186263-97-8P 186263-98-9P
186263-99-0P 186264-00-6P 186264-01-7P 186264-02-8P 186264-06-2P
186264-07-3P 186264-08-4P 186264-10-8P 186264-11-9P 186264-12-0P
186264-14-2P 186264-15-3P 186264-17-5P 186264-18-6P 186264-19-7P
186264-20-0P 186264-22-2P 186264-24-4P 186264-29-9P 186264-33-5P
186264-34-6P 186264-35-7P 186264-36-8P 186264-37-9P 186264-38-0P
186264-41-5P 186264-42-6P 186264-43-7P 186264-44-8P 186264-45-9P
186264-46-0P 186264-48-2P 186264-49-3P 186264-50-6P 186264-52-8P
186264-53-9P 186264-54-0P 186264-56-2P 186264-59-5P 186264-60-8P
186264-61-9P 186264-63-1P 186264-64-2P 186264-65-3P 186264-66-4P
186264-67-5P 186264-69-7P 186264-70-0P 186264-77-7P 186264-79-9P
186264-80-2P 186264-81-3P 186264-82-4P 186264-83-5P 186264-84-6P
186264-85-7P 186264-86-8P 186264-87-9P 186264-88-0P 186264-89-1P
186264-90-4P 186264-91-5P 186264-92-6P 186264-93-7P 186264-94-8P
186264-95-9P 186264-96-0P 186264-97-1P 186264-98-2P 186264-99-3P
186265-01-0P 186265-02-1P 186265-04-3P 186265-06-5P 186265-08-7P
186265-10-1P 186265-12-3P 186265-14-5P 186265-16-7P 186265-18-9P

186265-20-3P 186265-21-4P 186265-23-6P 186265-25-8P 186265-26-9P
186265-27-0P 186265-28-1P 186265-29-2P 186265-30-5P 186265-31-6P
186265-32-7P 186265-33-8P 186265-34-9P 186265-35-0P 186265-36-1P
186265-37-2P 186265-38-3P 186265-39-4P 186265-40-7P 186265-41-8P
186265-42-9P 186265-43-0P 186265-44-1P 186265-45-2P
186265-46-3P 186265-47-4P 186265-48-5P 186265-49-6P
186265-50-9P 186265-51-0P 186265-52-1P 186265-53-2P 186265-54-3P
186265-55-4P 186265-56-5P 186265-57-6P 186265-58-7P 186265-59-8P
186265-60-1P 186265-61-2P 186265-62-3P 186265-63-4P 186265-64-5P
186265-65-6P 186265-66-7P 186265-68-9P 186265-70-3P 186265-72-5P
186265-73-6P 186265-74-7P 186265-75-8P 186265-76-9P 186265-77-0P
186265-78-1P 186267-28-7P 186267-29-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of neuroactive androstanes and pregnanes)

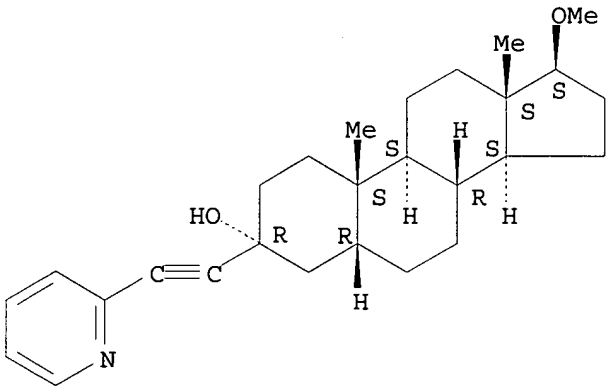
IT **186265-46-3P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of neuroactive androstanes and pregnanes)

RN 186265-46-3 CAPLUS

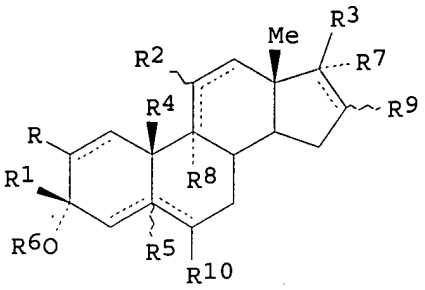
CN Androstan-3-ol, 17-methoxy-3-(2-pyridinylethynyl)-, (3.alpha.,5.beta.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L12 ANSWER 18 OF 19 CAPLUS COPYRIGHT 2003 ACS

GI



I

AB Methods, compns., and compds. are disclosed for modulating the GABAA receptor-chloride ionophore complex to alleviate stress, **anxiety**, seizures, mood disorders, premenstrual syndrome, and postnatal depression, and to induce anesthesia. Compds. of the invention include I [R = H, halo, lower alkoxy, (substituted) alkyl, (substituted) 1-alkynyl; R1 = (substituted) aralkynyl, arylalkyl, aryl, etc.; R2 = H, OH, alkoxy, alkanoyloxy, carbalkoxyl, keto, amino; R3 = acetyl, ketal of acetyl, alkoxyacetyl, etc.; R4 = H, Me; R5 = H; R6 = H, alkanoyl, aminocarbonyl, alkoxycarbonyl; R7 = H, halo, OH, alkoxy, etc.; R8 = H, halo; R9 = H, halo, alkyl, alkoxy, arylalkoxy, amino; R10 = H, halo, alkyl, etc.; with provisions] and their physiol. acceptable 3-esters, 20-esters, 21-esters, 3,20-diesters, and 3,21 diesters. Prepn. and biol. testing of a large no. of compds. is included.

ACCESSION NUMBER: 1995:986323 CAPLUS
DOCUMENT NUMBER: 124:146582
TITLE: Androstanes and pregnanes for allosteric modulation of GABA receptor, and preparation and therapeutic uses of compounds
INVENTOR(S): Upasani, Ravindra B.; Xia, Haiji; Hogenkamp, Derk
PATENT ASSIGNEE(S): Cocensys, Inc., USA
SOURCE: PCT Int. Appl., 127 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9521617	A1	19950817	WO 1995-US1712	19950214
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, UG				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2183231	AA	19950817	CA 1995-2183231	19950214
AU 9520901	A1	19950829	AU 1995-20901	19950214
AU 691905	B2	19980528		
EP 752860	A1	19970115	EP 1995-913478	19950214
EP 752860	B1	20000823		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
BR 9506779	A	19971014	BR 1995-6779	19950214
JP 09510701	T2	19971028	JP 1995-521356	19950214

AT 195654	E	20000915	AT 1995-913478	19950214
EP 1038880	A2	20000927	EP 2000-200119	19950214
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
ES 2151593	T3	20010101	ES 1995-913478	19950214
NO 9603355	A	19961011	NO 1996-3355	19960812
FI 9603174	A	19960925	FI 1996-3174	19960813
US 5939545	A	19990817	US 1997-887229	19970702
US 6143736	A	20001107	US 1999-349902	19990708
US 6277838	B1	20010821	US 2000-547041	20000411

PRIORITY APPLN. INFO.:

US 1994-196919	A	19940214
US 1994-346927	A	19941123
EP 1995-913478	A3	19950214
US 1995-389820	B1	19950214
WO 1995-US1712	W	19950214
US 1997-887229	A3	19970702
US 1999-349902	A3	19990708

OTHER SOURCE(S): MARPAT 124:146582

AB Methods, compns., and compds. are disclosed for modulating the GABAA receptor-chloride ionophore complex to alleviate stress, **anxiety**, seizures, mood disorders, premenstrual syndrome, and postnatal depression, and to induce anesthesia. Compds. of the invention include I {R =. . .

IT Anesthetics
Anticonvulsants and Antiepileptics
Antidepressants
Anxiolytics
Hypnotics and Sedatives
Molecular structure-biological activity relationship
Stress, biological
(androstanes and pregnanes for allosteric modulation of GABA receptor, and prepn. and therapeutic uses of compds.)

IT 50-22-6, Corticosterone 50-28-2, 17.beta.-Estradiol, biological studies 57-83-0, Progesterone, biological studies 57-88-5, Cholesterol, biological studies 80-92-2 128-20-1 485-49-4, (+)-Bicuculline 516-54-1 516-55-2, Allopregnanolone 566-58-5 567-02-2 567-03-3 6003-24-3 55569-11-4 73745-18-3 139539-86-9 147850-43-9 148256-35-3 148256-39-7 148256-41-1 148346-33-2 162882-54-4 162882-55-5 162882-56-6 162882-58-8 162882-59-9 162882-60-2 162882-63-5 162883-53-6 162883-54-7 162883-56-9 162883-59-2 162883-60-5 162883-61-6 162883-63-8 162883-65-0 162883-66-1 162883-67-2 162883-69-4 162883-73-0 162883-74-1 162883-75-2 162883-77-4 162883-79-6 162883-82-1 171493-13-3 171493-14-4 171493-15-5 171493-16-6 171493-18-8 171493-20-2 171493-21-3 171493-22-4 171493-24-6 171493-28-0 171493-29-1 171493-31-5 171493-32-6 171493-33-7 171493-35-9 171493-37-1 171493-38-2 171493-40-6 171493-41-7 171493-43-9 171493-45-1 171493-46-2 **171493-47-3** 171493-48-4 171493-49-5 171493-50-8 171493-51-9 171493-52-0 171493-53-1 171493-54-2 171493-55-3 171493-56-4 171493-58-6 171493-59-7 171493-61-1 171493-63-3 171493-65-5 171493-66-6 171493-67-7 171493-68-8 171493-71-3 171493-72-4 171493-74-6 171493-75-7 171493-76-8 171493-77-9 171493-78-0 171493-79-1 171493-83-7 171493-84-8 171493-85-9 171493-86-0 171493-87-1 171493-90-6 171493-91-7 171493-97-3 171494-08-9 171494-09-0 171494-21-6 171494-22-7 171494-25-0 171494-26-1 171494-27-2 171494-28-3 171494-29-4 171494-30-7 171494-31-8 171494-32-9 171494-33-0 171494-34-1 171494-35-2 171494-36-3 171494-37-4 171494-38-5 171494-39-6 171494-40-9 171494-41-0 171494-42-1 171494-43-2 171494-44-3 171494-45-4

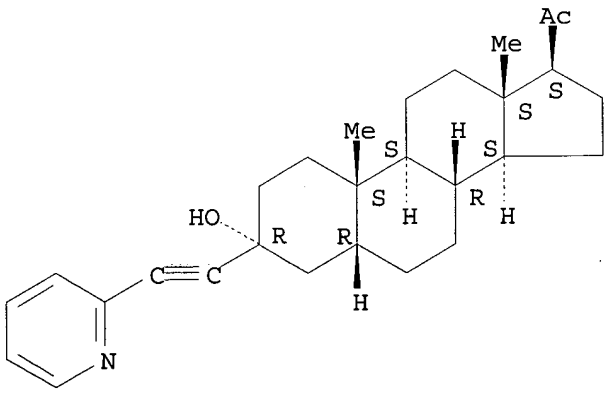
171494-46-5 171494-47-6 171494-48-7 171494-49-8 171494-50-1
171494-51-2 171494-52-3 171494-53-4 171494-54-5 171494-55-6
171494-56-7 171494-57-8 171494-58-9 171494-59-0 171494-60-3
171494-61-4 171596-97-7 171596-98-8 171596-99-9 171597-00-5
171597-01-6
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(androstanes and pregnanes for allosteric modulation of GABA receptor, and prepn. and therapeutic uses of compds.)

IT 66-22-8, Uracil, reactions 100-58-3, Phenyl magnesium bromide 108-30-5, Succinic anhydride, reactions 128-23-4 288-32-4, Imidazole, reactions 288-36-8, 1,2,3-Triazole 288-88-0, 1H-1,2,4-Triazole 626-55-1, 3-Bromopyridine 627-41-8, Methyl propargyl ether 831-91-4, Benzyl phenyl sulfide 927-74-2, 3-Butyn-1-ol 928-90-5, 5-Hexyn-1-ol 1066-54-2, Trimethylsilylacetylene 1589-82-8, Benzyl magnesium bromide **1945-84-2**, 2-Ethynylpyridine 2028-63-9, 3-Butyn-2-ol 5390-04-5, 4-Pentyn-1-ol 6921-27-3, Propargyl ether 7772-98-7, Sodium thiosulfate 10147-11-2, 3-Phenyl-1-propyne 13329-40-3, 4-Iodoacetophenone 14452-30-3, 3-Iodoacetophenone 19596-07-7, 4-Pentynenitrile 20306-74-5 51738-10-4 51934-41-9, Ethyl 4-iodobenzoate 64818-18-4, Propargyl 4-pyridyl ether 74066-96-9 77350-52-8 78909-98-5 78910-00-6 106068-61-5 118199-01-2 144256-13-3 148256-46-6 156685-96-0 162883-06-9 162883-19-4 162883-52-5 162883-57-0 162883-70-7 167947-26-4 171494-00-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(androstanes and pregnanes for allosteric modulation of GABA receptor, and prepn. and therapeutic uses of compds.)

IT **171493-47-3**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(androstanes and pregnanes for allosteric modulation of GABA receptor, and prepn. and therapeutic uses of compds.)

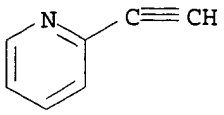
RN 171493-47-3 CAPLUS
CN Pregnan-20-one, 3-hydroxy-3-(2-pyridinylethynyl)-, (3.alpha.,5.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

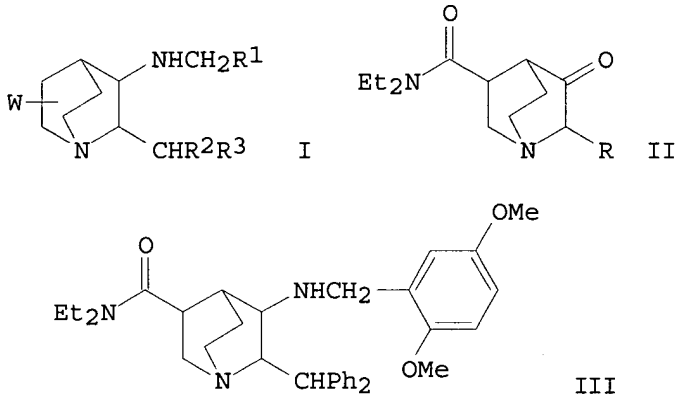


IT **1945-84-2**, 2-Ethynylpyridine
RL: RCT (Reactant); RACT (Reactant or reagent)
(androstanes and pregnanes for allosteric modulation of GABA receptor, and prepn. and therapeutic uses of compds.)

RN 1945-84-2 CAPLUS
CN Pyridine, 2-ethynyl- (6CI, 7CI, 8CI, 9CI) (CA INDEX NAME)



L12 ANSWER 19 OF 19 CAPLUS COPYRIGHT 2003 ACS
GI



AB Title compds. [I; R1-R3 - (substituted)Ph, -pyridyl, -imidazolyl, etc.; W - (Cyclo)alkyl, alkoxy, CONH2, CO2N, etc.] were prepd. as substance P antagonists (no data). Thus, cis-Me 3-diethylcarbamoyl-1-(methoxycarbonylmethyl)piperidine-4-carboxylate (prepn. ref. given) was cyclized to give oxobicyclooctanecarboxamide (3R,4R)-II (R = H) which was condensed with PhCHO and the product condensed with PhMgBr to give (3R,4R,6S)- and (3R,4R,6R)-II (R = CHPh2). These were condensed with 2,5-(MeO)2C6H3CH2NH2 and the product reduced by NaBH(OAc)3 to give title compd. (3R,4R,5S,6S)-III.

ACCESSION NUMBER: 1993:495339 CAPLUS
DOCUMENT NUMBER: 119:95339
TITLE: Preparation of 6-benzhydryl-5-benzylamino-1-azabicyclo[2.2.2]octane-3-carboxylates and analogs as substance P antagonists
INVENTOR(S): Ito, Fumitaka; Kokura, Toshihide; Nakane, Masami; Satake, Kunio; Wakabayashi, Hiroaki
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: PCT Int. Appl., 101 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 9220676		A1	19921126	WO 1992-US4002	19920519
	W: AU, BR, CA, CS, DE, FI, HU, KR, NO, PL, RU, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE				
JP 05310735		A2	19931122	JP 1991-325237	19911113
JP 10081684		A2	19980331	JP 1997-200183	19911113
CA 2109415		AA	19921123	CA 1992-2109415	19920519
AU 9219275		A1	19921230	AU 1992-19275	19920519
AU 658898		B2	19950504		
EP 585328		A1	19940309	EP 1992-911350	19920519
EP 585328		B1	20020109		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
HU 65771		A2	19940728	HU 1993-3307	19920519
BR 9206044		A	19950301	BR 1992-6044	19920519
PL 170513		B1	19961231	PL 1992-301418	19920519
PL 170525		B1	19961231	PL 1992-311527	19920519
PL 171921		B1	19970630	PL 1992-311526	19920519
PL 172069		B1	19970731	PL 1992-311525	19920519
RU 2092486		C1	19971010	RU 1993-58351	19920519
AT 211743		E	20020115	AT 1992-911350	19920519
ES 2168260		T3	20020616	ES 1992-911350	19920519
IL 101960		A1	19990312	IL 1992-101960	19920521
CN 1068571		A	19930203	CN 1992-104860	19920522
CN 1041827		B	19990127		
NO 9304195		A	19931119	NO 1993-4195	19931119
US 5716965		A	19980210	US 1993-175353	19931220

PRIORITY APPLN. INFO.:

JP 1991-146826	A	19910522
JP 1991-230999	A1	19910819
JP 1991-325237	A3	19911113
WO 1992-US4002	A	19920519

OTHER SOURCE(S): MARPAT 119:95339

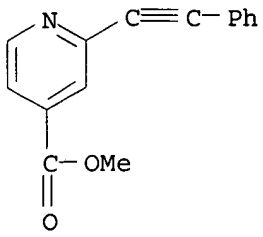
IT Allergy inhibitors
Analgesics
Antidepressants
Anxiolytics
Bronchodilators
Inflammation inhibitors
(substance P antagonistic benzhydryl(benzylamino)azabicyclooctanecarboxylates and analogs)

IT 22252-69-3P 24195-03-7P 58481-11-1P, Methyl-2-chloroisonicotinate
142851-03-4P 146595-02-0P **146603-79-4P** 146603-80-7P
146603-81-8P 146603-82-9P 146603-83-0P 146603-84-1P 146603-85-2P
146603-86-3P 146603-87-4P 146603-88-5P 146603-89-6P 146603-90-9P
146603-91-0P 146603-92-1P 146603-93-2P 146603-94-3P 146603-95-4P
146603-96-5P 146603-97-6P 146603-98-7P 146603-99-8P 146604-00-4P
146604-01-5P 146604-02-6P 146604-03-7P 146604-04-8P 146604-14-0P
146682-72-6P 146682-73-7P 146682-75-9P 146682-76-0P 146682-77-1P
146682-78-2P 146935-76-4P 146987-72-6P 146987-73-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction of, in prepn. of substance P antagonists)

IT **146603-79-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction of, in prepn. of substance P antagonists)

RN 146603-79-4 CAPLUS

CN 4-Pyridinecarboxylic acid, 2-(phenylethynyl)-, methyl ester (9CI) (CA INDEX NAME)


N
C≡C— Ph
C— OMe
O